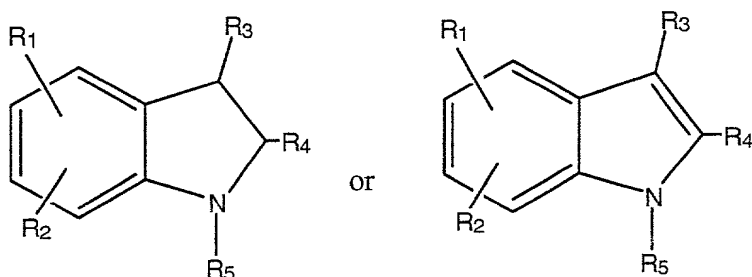


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5 What is claimed:

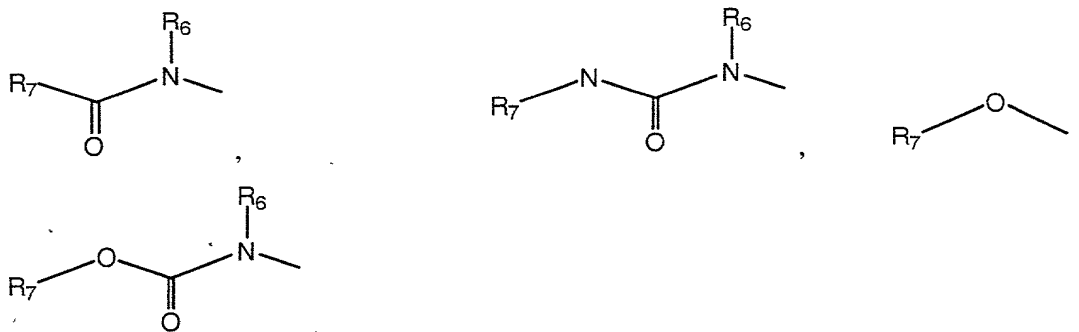
1. A compound of the formulae:



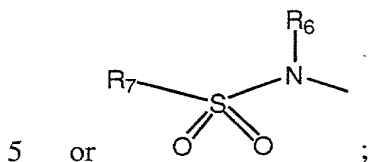
- 10 wherein:

R₁ is selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, -NO₂, -NH₂, -HN(C₁-C₆), -N(C₁-C₆)₂, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂, CN, -CF₃, or -OH;

- 15 or a moiety of the formulae:



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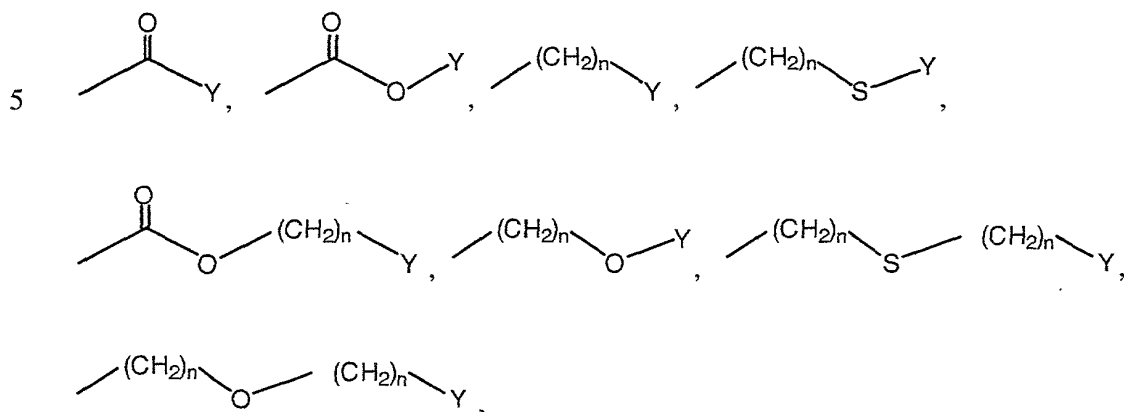
R_6 is selected from H, C_1-C_6 alkyl, C_1-C_6 alkoxy, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, $-NH_2$, -
 10 NO_2 , CN, $-CF_3$, or -OH;

R_7 is selected from $-(CH_2)_n-COOH$, $-(CH_2)_n-N-(C_1-C_6 \text{ alkyl})_2$, $-(CH_2)_n-NH-(C_1-C_6 \text{ alkyl})$, $-CF_3$, C_1-C_6 alkyl, C_3-C_5 cycloalkyl, C_1-C_6 alkoxy, $-NH-(C_1-C_6 \text{ alkyl})$, $-N-(C_1-C_6 \text{ alkyl})_2$, pyridinyl, thienyl, furyl, pyrrolyl, quinolyl, $(CH_2)_n$ phenyl,
 15 phenyl, -O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, $-(CH_2)_n$ -phenyl-O-phenyl, $-(CH_2)_n$ -phenyl- CH_2 -phenyl, $-(CH_2)_n$ -O-phenyl- CH_2 -phenyl, $-(CH_2)_n$ -phenyl-(O- CH_2 -phenyl) $_2$, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, $-NH_2$, $-NO_2$, -
 20 CF_3 , CO_2H , or -OH;

n is an integer from 0 to 3;

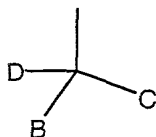
R_2 is selected from H, halogen, $-CF_3$, -OH, $-C_1-C_{10}$ alkyl, preferably $-C_1-C_6$ alkyl, C_1-C_{10} alkoxy, preferably C_1-C_6 alkoxy, -CHO, -CN, $-NO_2$, $-NH_2$, $-NH-C_1-C_6$
 25 alkyl, $-N(C_1-C_6 \text{ alkyl})_2$, $-N-SO_2-C_1-C_6$ alkyl, or $-SO_2-C_1-C_6$ alkyl;

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- 10 wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C₃-C₅ cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂ or a five membered heterocyclic ring
- 15 containing one heteroatom selected from N, S, or O, preferably S or O; or

b) a moiety of the formulae -(CH₂)_n-A, -(CH₂)_n-S-A, or -(CH₂)_n-O-A, wherein A is the moiety:



- 20 wherein

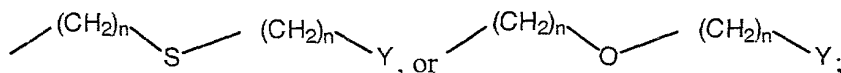
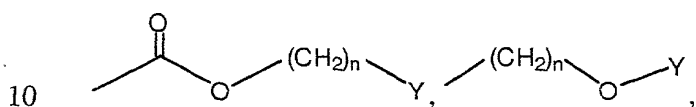
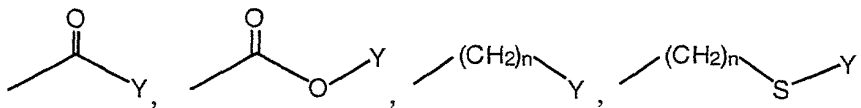
D is H, C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, or -CF₃;

B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy,

25 or -NO₂; or

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- 5 R_3 is selected from H, $-CF_3$, $-COOH$, C_1-C_6 lower alkyl, C_1-C_6 lower alkoxy, C_3-C_{10} cycloalkyl, $-C_1-C_6$ alkyl- C_3-C_{10} cycloalkyl, $-CHO$, halogen, or a moiety of the formulae:

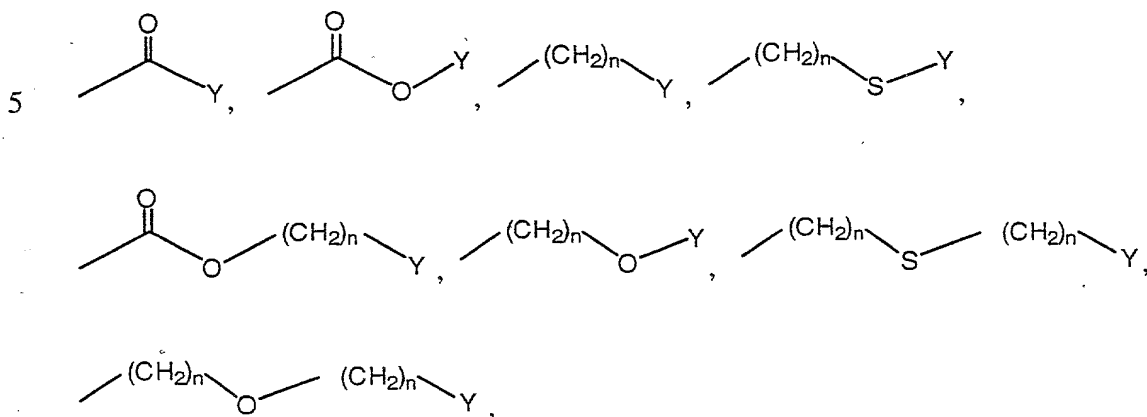


- wherein n is independently selected in each appearance as an integer from 0 to 3,
 15 preferably 0 to 2, more preferably 0 to 1, Y is C_1-C_6 alkyl, C_3-C_5 cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl, morpholinyl, pyrrolidinyl, or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, $-CF_3$, $-OH$, $-C_1-C_6$ alkyl, C_1-C_6 alkoxy, $-NH_2$, $-NO_2$ or a five membered heterocyclic ring containing one heteroatom selected from N, S, or O,
 20 preferably S or O;

R_4 is selected from the group of C_1-C_6 lower alkyl, C_1-C_6 lower alkoxy, $-(CH_2)_n-C_3-C_6$ cycloalkyl, $-(CH_2)_n-S-(CH_2)_n-C_3-C_5$ cycloalkyl, $-(CH_2)_n-O-(CH_2)_n-C_3-C_5$ cycloalkyl, or the groups of:

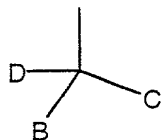
- 25 a) $-(CH_2)_n$ -phenyl-O-phenyl, $-(CH_2)_n$ -phenyl- CH_2 -phenyl, $-(CH_2)_n$ -O-phenyl- CH_2 -phenyl, $-(CH_2)_n$ -phenyl-(O- CH_2 -phenyl)₂, or a moiety of the formulae:

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- 10 wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C₃-C₅ cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂ or a five membered heterocyclic ring
- 15 containing one heteroatom selected from N, S, or O, preferably S or O; or

b) a moiety of the formulae -(CH₂)_n-A, -(CH₂)_n-S-A, or -(CH₂)_n-O-A, wherein A is the moiety:



- 20 wherein

D is H, C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, or -CF₃;

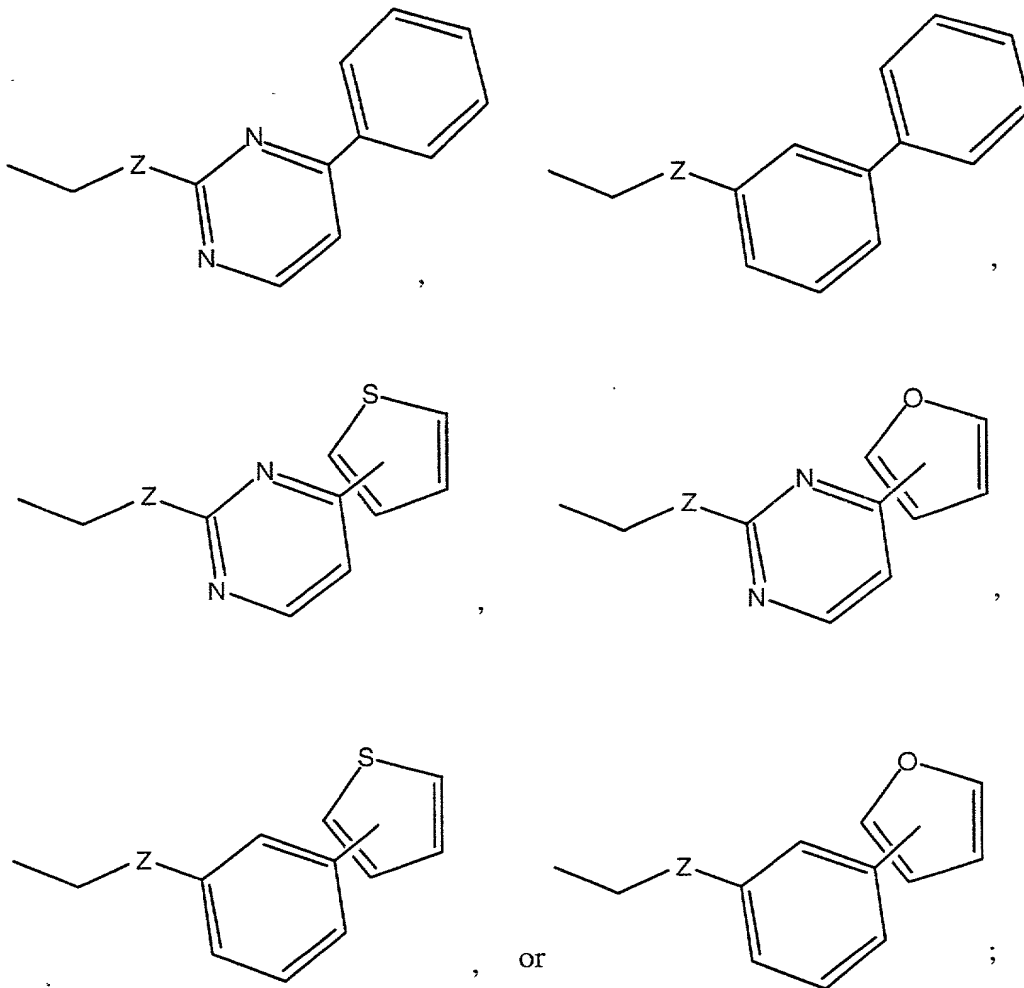
B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy,

25 or -NO₂; or

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5

c) a moiety of the formulae:



10

wherein Z is O or S and the phenyl and pyrimidinyl rings of each moiety are optionally and independently substituted by from 1 to 3 substituents selected from halogen, $-\text{CF}_3$, $-\text{OH}$, $-\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkoxy, $-\text{NH}_2$, or $-\text{NO}_2$; or

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5 d) a moiety of the formula $-L^2-M^2$, wherein:

L^2 indicates a linking or bridging group of the formulae $-(CH_2)_n-$, $-S-$, $-O-$, $-SO_2-$, $-C(O)-$, $-(CH_2)_n-C(O)-$, $-(CH_2)_n-C(O)-(CH_2)_n-$, $-(CH_2)_n-O-(CH_2)_n-$, or $-(CH_2)_n-S-(CH_2)_n-$, $-C(O)C(O)X$;

10 where $X = O, N$

M^2 is selected from the group of C_1-C_6 lower alkyl, C_1-C_6 lower alkoxy, C_3-C_{10} cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_{10} alkyl, preferably C_1-C_6 alkyl, C_1-C_{10} alkoxy, preferably C_1-C_6 alkoxy, $-NO_2$, $-NH_2$, $-CN$, or $-CF_3$; or

15 i) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, pyrrolidine, or tetrazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_{10} alkyl, preferably C_1-C_6 alkyl, C_1-C_{10} alkoxy, preferably C_1-C_6 alkoxy, $-NO_2$, $-NH_2$, $-CN$, or $-CF_3$; or

25 ii) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to pyridine, pyrimidine, piperidine, piperazine, or morpholine, the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_{10} alkyl, preferably C_1-C_6 alkyl, C_1-C_{10} alkoxy, preferably C_1-C_6 alkoxy, $-CHO$, $-NO_2$, $-NH_2$, $-CN$, $-CF_3$ or $-OH$; or

30

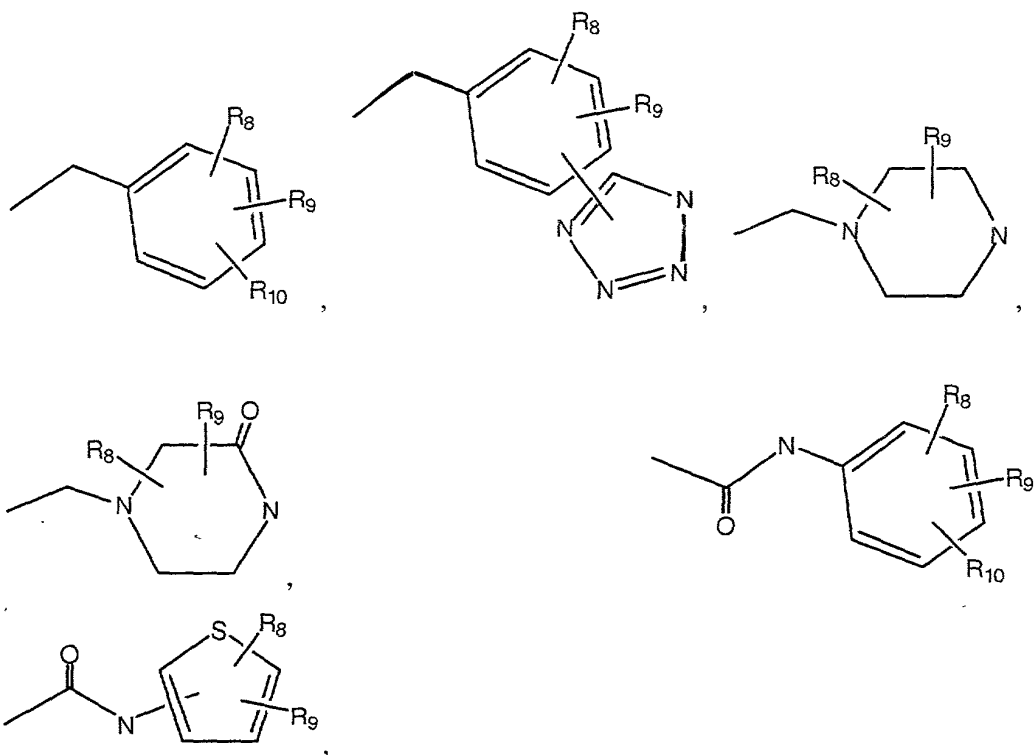
- 187 -

- 5 iii) a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including, but not limited to benzofuran, indole, indoline, naphthalene, purine, or quinoline, the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₁₀ alkyl, preferably C₁-C₆ alkyl, C₁-C₁₀ alkoxy, preferably C₁-C₆ alkoxy, -CHO, -NO₂, -NH₂, -CN, -CF₃ or -OH;
- 10

n is an integer from 0 to 3;

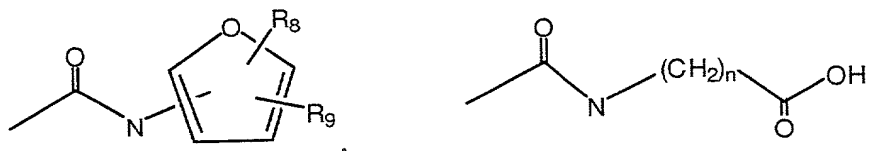
R₅ is selected from -COOH, -C(O)-COOH, -(CH₂)_n-C(O)-COOH, -(CH₂)_n-COOH, -CH₂-phenyl-C(O)-benzothiazole,

- 15 (CH₂)_n-CH=CH-COOH,

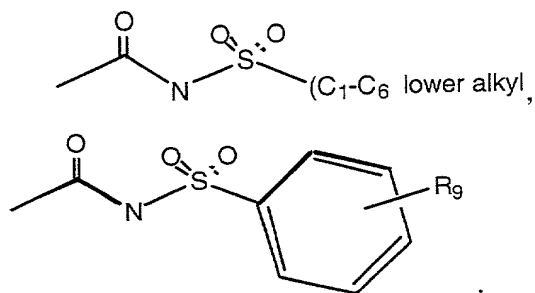
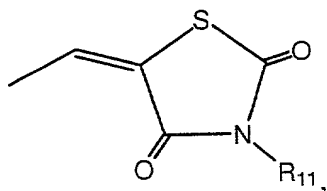
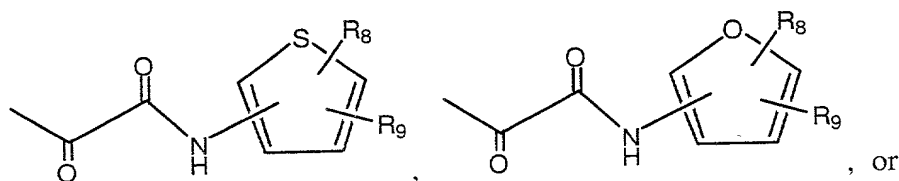
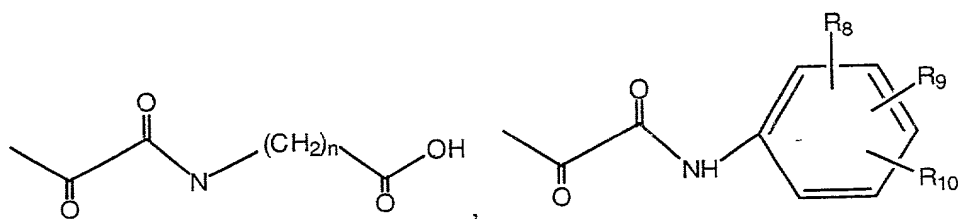


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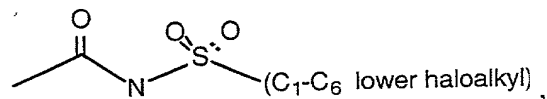
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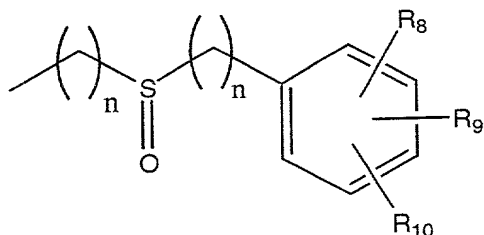
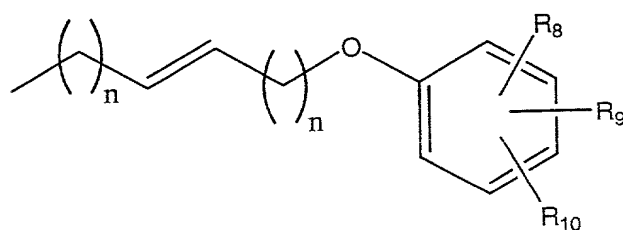
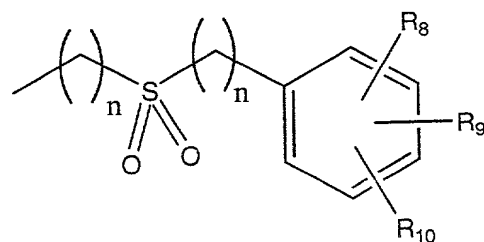
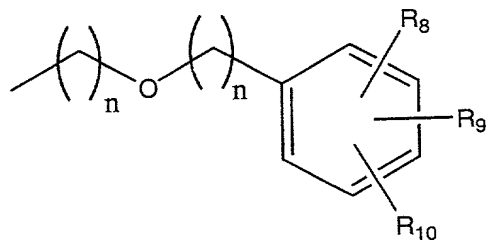
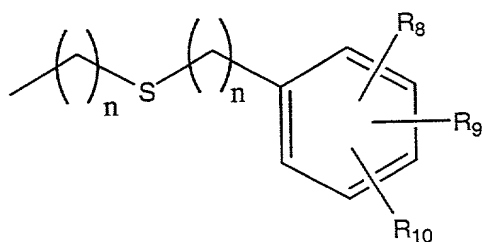
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15



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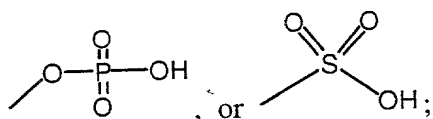


5

n is an integer from 0 to 3;

R_8 is selected from H, $-\text{COOH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, tetrazole, $-\text{C(O)}-\text{NH}_2$, $-(\text{CH}_2)_n-\text{C(O)}-\text{NH}_2$,

10



n is an integer from 0 to 3;

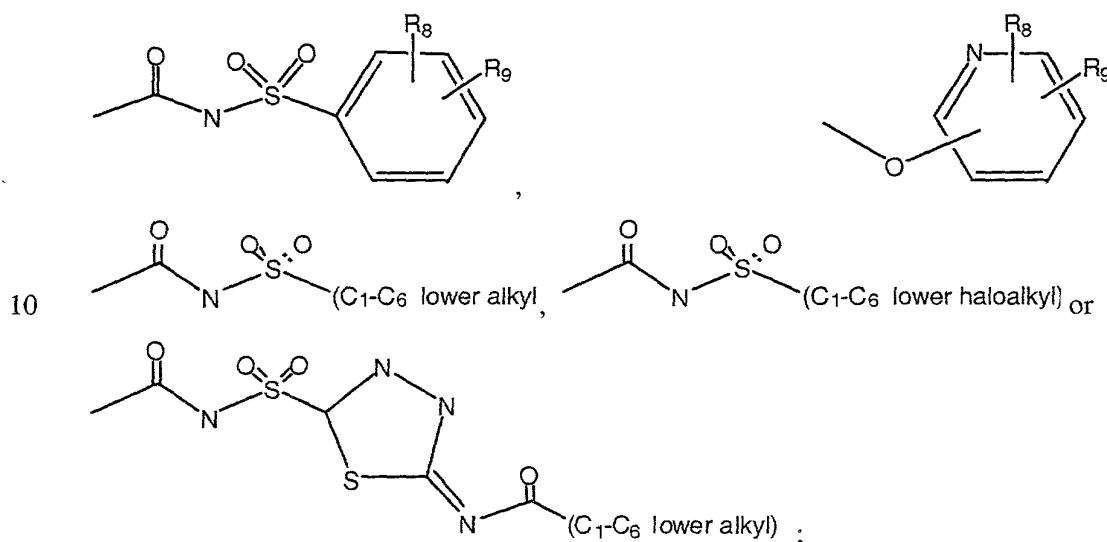
R_9 is selected from H, halogen, $-\text{CF}_3$, $-\text{OH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, $-\text{C}_1-\text{C}_6$ alkyl, $-\text{O}-\text{C}_1-\text{C}_6$ alkyl, $-\text{NH}(\text{C}_1-\text{C}_6 \text{ alkyl})$, $-\text{N}(\text{C}_1-\text{C}_6 \text{ alkyl})_2$;

15

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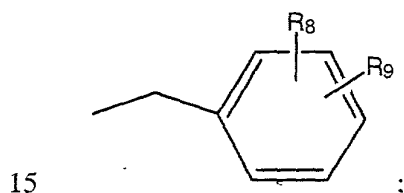
5 n is an integer from 0 to 3;

R_{10} is selected from the group of H, halogen, $-\text{CF}_3$, $-\text{OH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, $-\text{C}_1-\text{C}_6$ alkyl, $-\text{O}-\text{C}_1-\text{C}_6$ alkyl, $-\text{NH}(\text{C}_1-\text{C}_6 \text{ alkyl})$, $-\text{N}(\text{C}_1-\text{C}_6 \text{ alkyl})_2$,



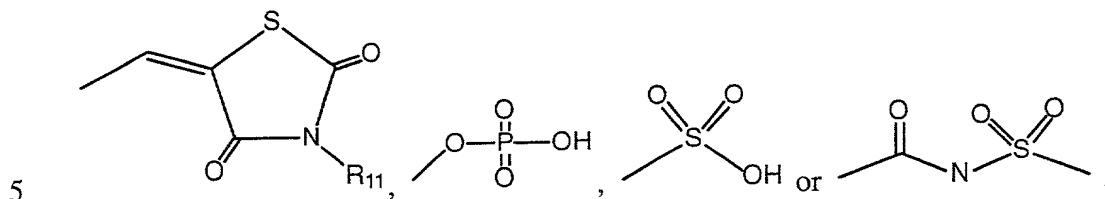
n is an integer from 0 to 3;

R_{11} is selected from H, C_1-C_6 lower alkyl, $-\text{CF}_3$, $-\text{COOH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, or



with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R_5 , R_8 , R_9 , R_{10} , and/or R_{11} shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: $-\text{C(O)}-\text{NH}_2$, $-(\text{CH}_2)_n-\text{C(O)}-\text{NH}_2$,

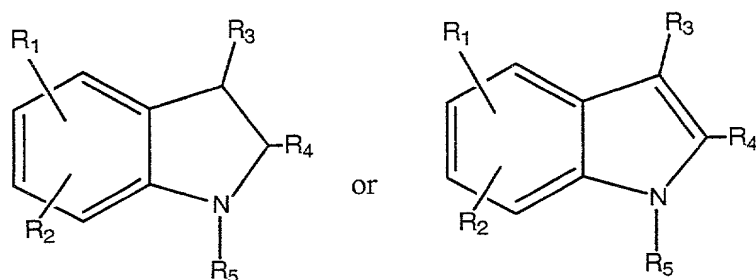
- 191 -



n is an integer from 0 to 3;

or a pharmaceutically acceptable salt thereof.

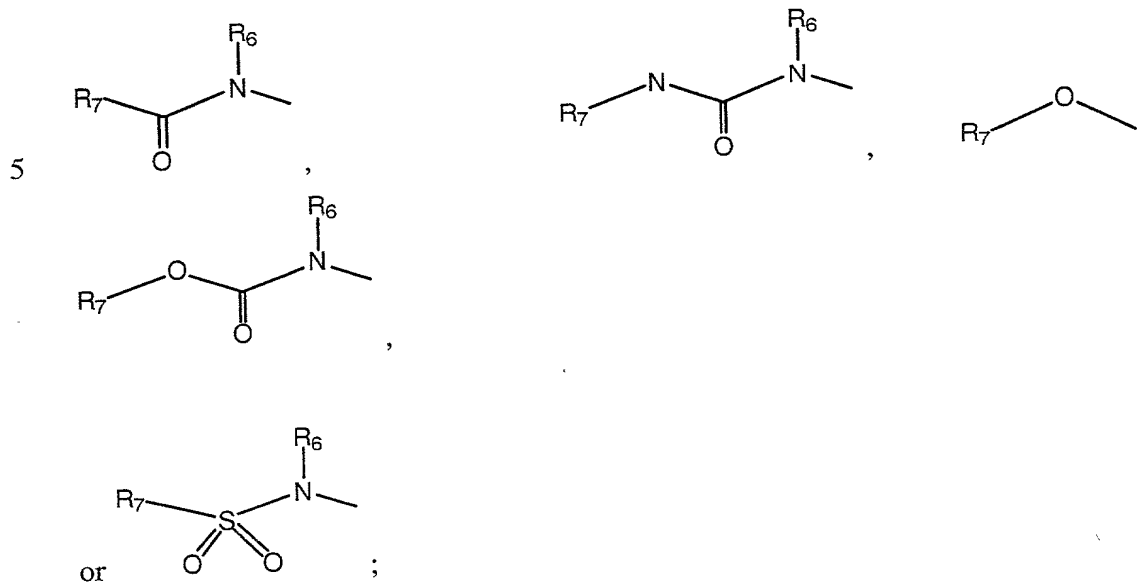
10 2. A compound of Claim 1 having the formula:



wherein:

15 R_1 is selected from H, halogen, $-CF_3$, $-OH$, $-C_1-C_6$ alkyl, C_1-C_6 alkoxy, $-NO_2$, $-NH_2$, phenyl, $-O$ -phenyl, benzyl, $-O$ -benzyl, $-S$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, $-NH_2$, $-NO_2$, CN , $-CF_3$, or $-OH$;
 or R_1 is a moiety of the formulae:

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10 R_6 is selected from H, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, $-NO_2$, $-CF_3$, or -OH;

15 R_7 is selected from $-(CH_2)_n-COOH$, $-(CH_2)_n-N-(C_1-C_6 \text{ alkyl})_2$, $-(CH_2)_n-NH-(C_1-C_6 \text{ alkyl})$, $-CF_3$, C_1 - C_6 alkyl, C_3 - C_5 cycloalkyl, C_1 - C_6 alkoxy, $-NH-(C_1-C_6 \text{ alkyl})$, $-N-(C_1-C_6 \text{ alkyl})_2$, pyridinyl, thienyl, furyl, pyrrolyl, phenyl, -O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, the pyridinyl, phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,

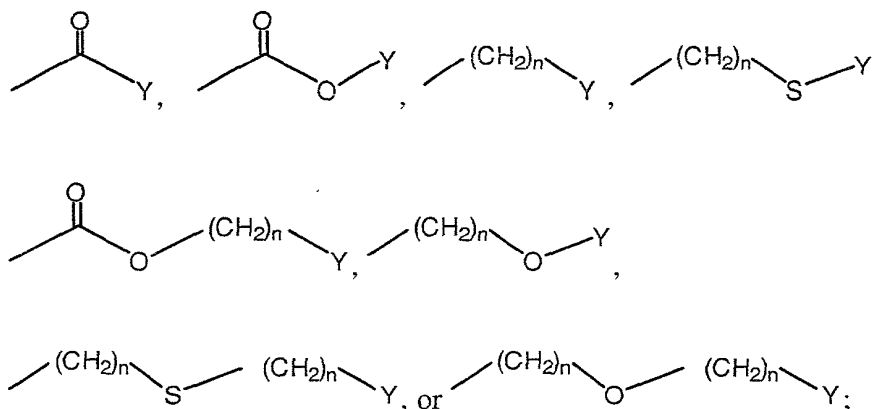
20 C_1 - C_6 alkyl, C_1 - C_6 alkoxy, $-NO_2$, $-CF_3$, or -OH;

n is an integer from 0 to 3;

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5 R_2 is selected from H, halogen, $-CF_3$, $-OH$, $-C_1-C_{10}$ alkyl, preferably $-C_1-C_6$ alkyl, C_1-C_{10} alkoxy, preferably C_1-C_6 alkoxy, $-CHO$, $-CN$, $-NO_2$, $-NH_2$, $-NH-C_1-C_6$ alkyl, $-N(C_1-C_6 \text{ alkyl})_2$, $-N-SO_2-C_1-C_6$ alkyl, or $-SO_2-C_1-C_6$ alkyl;

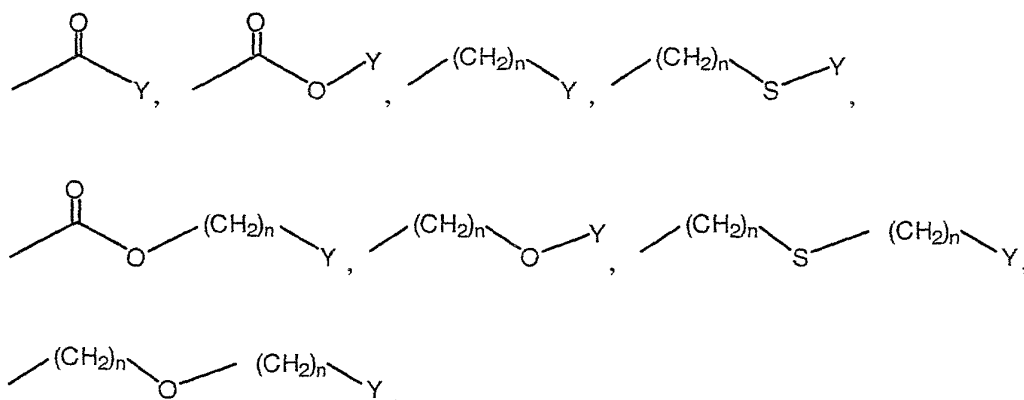
10 R_3 is selected from H, $-CF_3$, $-COOH$, C_1-C_6 lower alkyl, C_1-C_6 lower alkoxy, C_3-C_{10} cycloalkyl, $-C_1-C_6$ alkyl- C_3-C_{10} cycloalkyl, $-CHO$, halogen, or a moiety of the formulae:



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5 R_4 is selected from the group of C_1 - C_6 lower alkyl, C_1 - C_6 lower alkoxy, $-(CH_2)_n$ - C_3 - C_6 cycloalkyl, $-(CH_2)_n$ -S- $(CH_2)_n$ - C_3 - C_5 cycloalkyl, $-(CH_2)_n$ -O- $(CH_2)_n$ - C_3 - C_5 cycloalkyl, or the groups of:

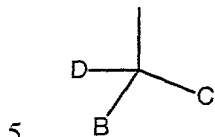
10 a) $-(CH_2)_n$ -phenyl-O-phenyl, $-(CH_2)_n$ -phenyl- CH_2 -phenyl, $-(CH_2)_n$ -O-phenyl- CH_2 -phenyl, $-(CH_2)_n$ -phenyl-(O- CH_2 -phenyl)₂, $-CH_2$ -phenyl-C(O)-benzothiazole or a moiety of the formulae:



wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C_3 - C_5 cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl or pyrrolyl; rings of these groups being
 20 optionally substituted by from 1 to 3 substituents selected from H, halogen, $-CF_3$, $-OH$, $-C_1$ - C_6 alkyl, C_1 - C_6 alkoxy, $-NH_2$, $-NO_2$ or a five membered heterocyclic ring containing one heteroatom selected from N, S, or O, preferably S or O; or

b) a moiety of the formulae $-(CH_2)_n$ -A, $-(CH_2)_n$ -S-A, or $-(CH_2)_n$ -O-A,
 25 wherein A is the moiety:

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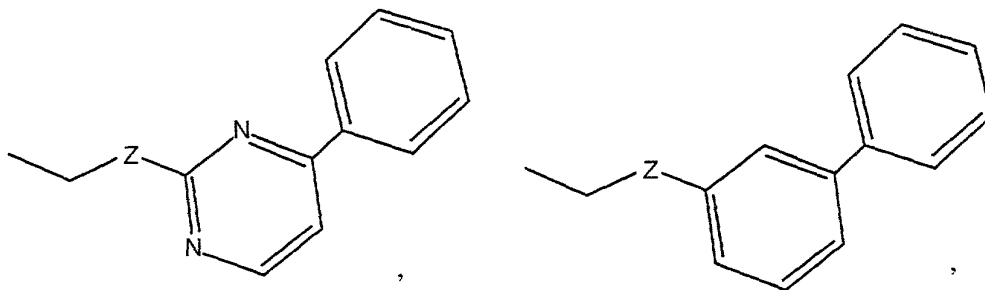


wherein

D is H, C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, or -CF₃;

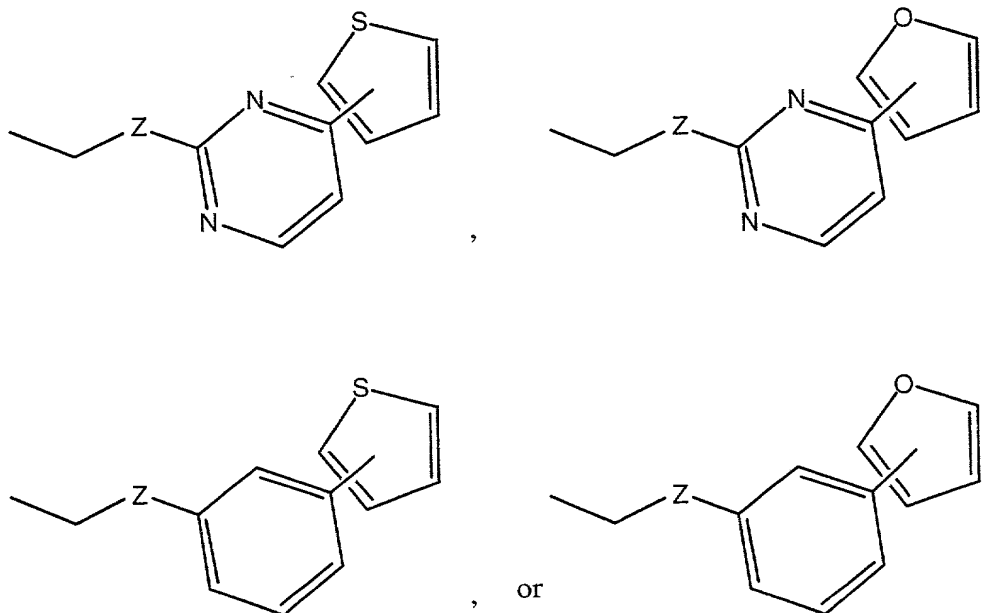
B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, or -NO₂; or

c) a moiety of the formulae:



15

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5

wherein Z is O or S and the phenyl and pyrimidinyl rings of each moiety are optionally and independently substituted by from 1 to 3 substituents selected from halogen, $-\text{CF}_3$, $-\text{OH}$, $-\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkoxy, $-\text{NH}_2$, or $-\text{NO}_2$; or

10

d) a moiety of the formula $-\text{L}^2\text{-M}^2$, wherein:

L^2 indicates a linking or bridging group of the formulae $-(\text{CH}_2)_n-$, $-\text{S}-$, $-\text{O}-$, $-\text{SO}_2-$, $-\text{C}(\text{O})-$, $-(\text{CH}_2)_n-\text{C}(\text{O})-$, $-(\text{CH}_2)_n-\text{C}(\text{O})-(\text{CH}_2)_n-$, $-(\text{CH}_2)_n-\text{O}-(\text{CH}_2)_n-$, or $-(\text{CH}_2)_n-\text{S}-$
 15 $(\text{CH}_2)_n-$, $-\text{C}(\text{O})\text{C}(\text{O})\text{X}$;

where X = O, N

M^2 is selected from the group of $\text{C}_1\text{-C}_6$ lower alkyl, $\text{C}_1\text{-C}_6$ lower alkoxy, $\text{C}_3\text{-C}_{10}$ cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being

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5 optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₁₀ alkyl, preferably C₁-C₆ alkyl, C₁-C₁₀ alkoxy, preferably C₁-C₆ alkoxy, -NO₂, -NH₂, -CN, or -CF₃; or

10 i) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, pyrrolidine, or tetrazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₁₀ alkyl, preferably C₁-C₆ alkyl, C₁-C₁₀ alkoxy, preferably C₁-C₆ alkoxy, -NO₂, -NH₂, -CN, or -CF₃; or

15 ii) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to pyridine, pyrimidine, piperidine, piperazine, or morpholine, the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₁₀ alkyl, preferably C₁-C₆ alkyl, C₁-C₁₀ alkoxy, preferably C₁-C₆ alkoxy, -CHO, -NO₂, -NH₂, -CN, -CF₃ or -OH; or

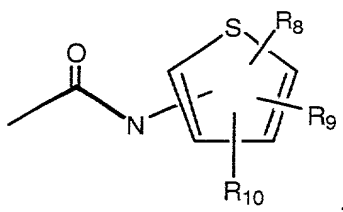
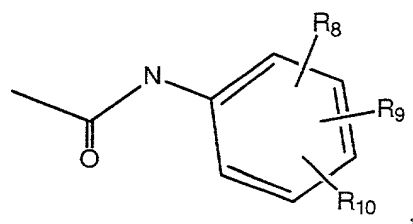
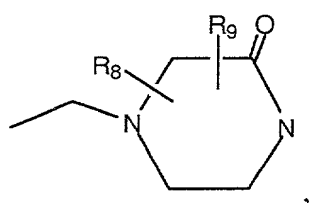
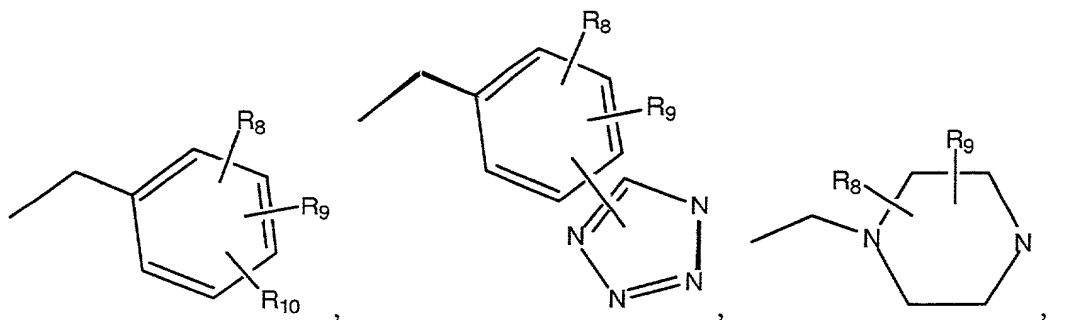
25 iii) a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including, but not limited to benzofuran, indole, indoline, naphthalene, purine, or quinoline, the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₁₀ alkyl, preferably C₁-C₆ alkyl, C₁-C₁₀ alkoxy, preferably C₁-C₆ alkoxy, -CHO, -NO₂, -NH₂, -CN, -CF₃ or -OH;

n is an integer from 0 to 3;

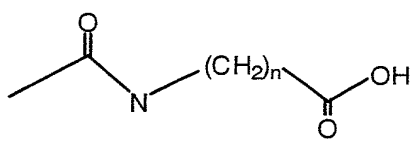
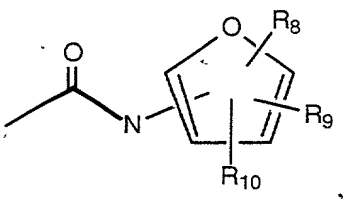
30 R₅ is selected from -COOH, -C(O)-COOH, -(CH₂)_n-C(O)-COOH, -(CH₂)_n-COOH, -CH₂-phenyl-C(O)-benzothiazole,

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5 $(\text{CH}_2)_n\text{-CH=CH-COOH}$,

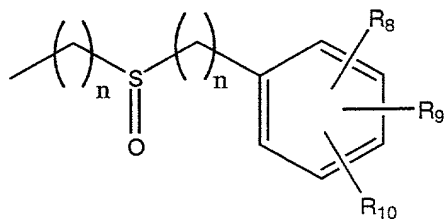
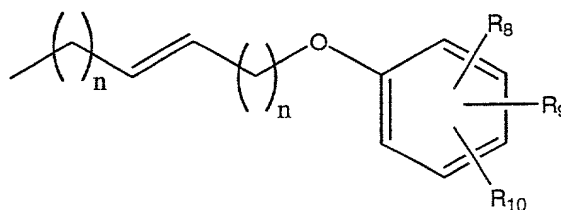
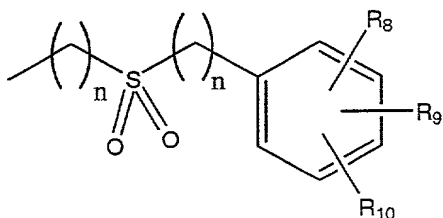
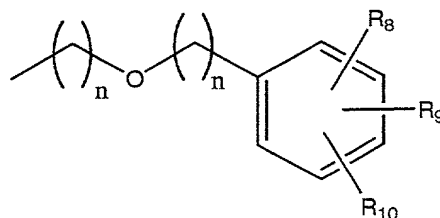
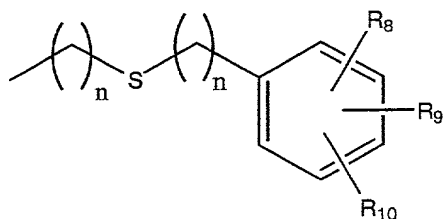


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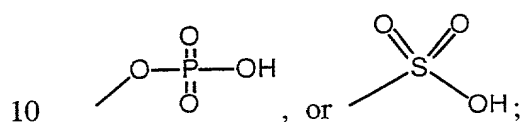
- 200 -



5

n is an integer from 0 to 3;

R_8 is selected from H, $-\text{COOH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, tetrazole, $-\text{C(O)}-\text{NH}_2$, $-(\text{CH}_2)_n-\text{C(O)}-\text{NH}_2$,



n is an integer from 0 to 3;

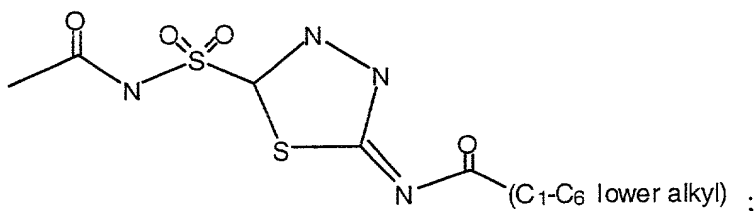
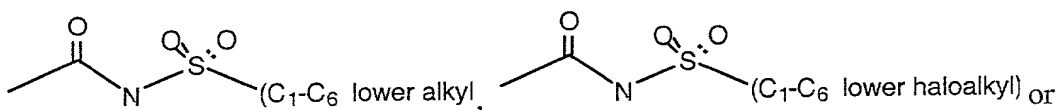
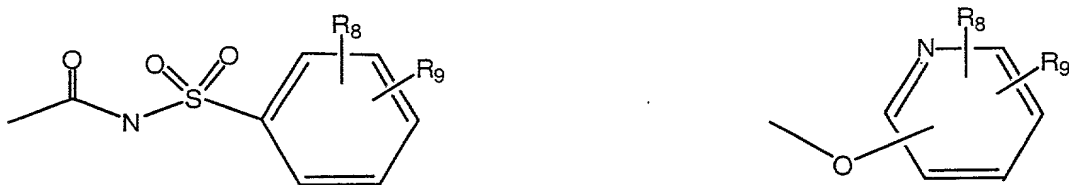
R_9 is selected from H, halogen, $-\text{CF}_3$, $-\text{OH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, $-\text{C}_1-\text{C}_6$ alkyl, $-\text{O}-\text{C}_1-\text{C}_6$ alkyl, $-\text{NH}(\text{C}_1-\text{C}_6 \text{ alkyl})$, $-\text{N}(\text{C}_1-\text{C}_6 \text{ alkyl})_2$;

15 n is an integer from 0 to 3;

R_{10} is selected from the group of H, halogen, $-\text{CF}_3$, $-\text{OH}$, $-(\text{CH}_2)_n-\text{COOH}$,

- 201 -

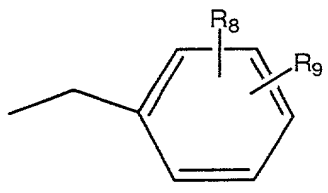
5 $-(\text{CH}_2)_n-\text{C}(\text{O})-\text{COOH}$, $-\text{C}_1-\text{C}_6$ alkyl, $-\text{O}-\text{C}_1-\text{C}_6$ alkyl, $-\text{NH}(\text{C}_1-\text{C}_6 \text{ alkyl})$, $-\text{N}(\text{C}_1-\text{C}_6 \text{ alkyl})_2$,



10

n is an integer from 0 to 3;

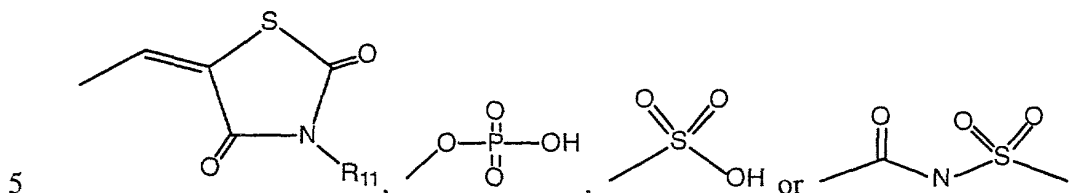
R_{11} is selected from H, C_1-C_6 lower alkyl, $-\text{CF}_3$, $-\text{COOH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C}(\text{O})-\text{COOH}$, or



15

with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R_5 , R_8 , R_9 , R_{10} , and/or R_{11} shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: $-\text{C}(\text{O})-\text{NH}_2$, $-(\text{CH}_2)_n-\text{C}(\text{O})-\text{NH}_2$,

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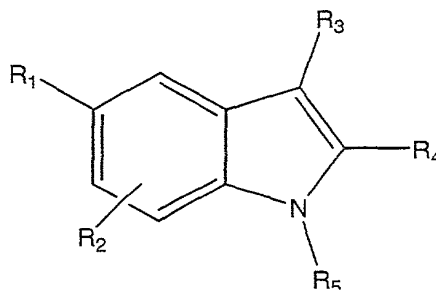


n is an integer from 0 to 3;

or a pharmaceutically acceptable salt thereof.

3. A compound of Claim 2 wherein R₃ is H and R₁, R₂, R₄, R₅, R₆, R₇,
 10 R₈, R₉, R₁₀, R₁₁, n, X, L², M², Z, A, B, C, D, and Y are as defined in Claim 2, or a
 pharmaceutically acceptable salt thereof.

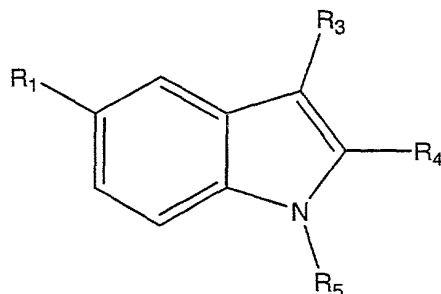
4. A compound of Claim 2 having the formula:



15 wherein R₁ is benzyloxy, optionally substituted by from 1 to 3 substituents selected
 from halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂, CN, -CF₃, or -OH; and R₂, R₃,
 R₄, R₅, R₆, R₇, R₈, R₉, R₁₀, R₁₁, n, X, L², M², Z, A, B, C, D, and Y are as defined in
 Claim 2, or a pharmaceutically acceptable salt thereof.

20 5. A compound of Claim 2

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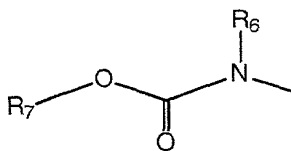
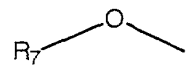
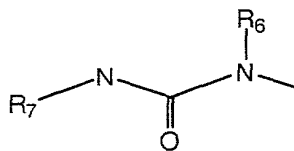
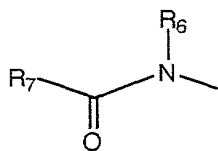


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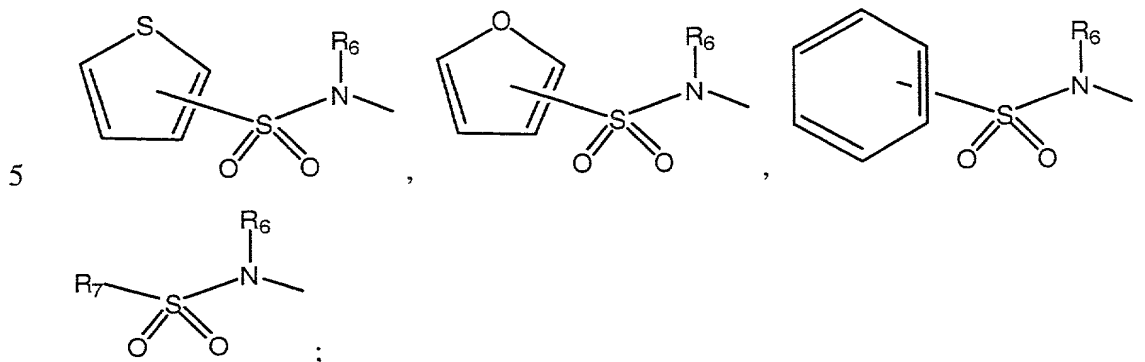
wherein:

R₁ is selected from halogen, -NH₂, -O-phenyl, benzyl, -O-benzyl, -N-benzyl, -N-benzyl-O-phenyl, -S-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₆ alkyl,

10 C₁-C₆ alkoxy, -NO₂, -NH₂, -CN, -CF₃, or -OH; or R₁ is or a moiety of the formulae:



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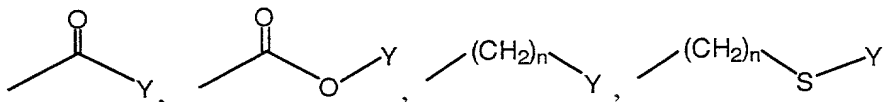
R_6 is selected from H, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, $-NO_2$, $-CF_3$, or -OH;

R_7 is selected from $-(CH_2)_n-COOH$, $-(CH_2)_n-N(C_1-C_6 \text{ alkyl})_2$, $-(CH_2)_n-NH(C_1-C_6 \text{ alkyl})$, $-CF_3$, C_1 - C_6 alkyl, C_3 - C_5 cycloalkyl, C_1 - C_6 alkoxy, $-NH(C_1-C_6 \text{ alkyl})$, $-N(C_1-C_6 \text{ alkyl})_2$, pyridinyl, thienyl, furyl, pyrrolyl, phenyl, -O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, $-NO_2$, $-CF_3$, or -OH;

n is an integer from 0 to 3;

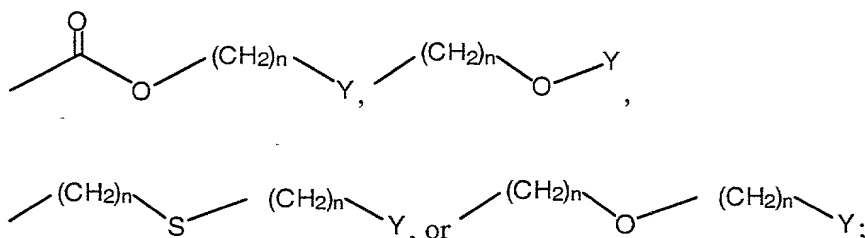
20

R_3 is selected from H, $-CF_3$, $-COOH$, C_1 - C_6 lower alkyl, C_1 - C_6 lower alkoxy, C_3 - C_{10} cycloalkyl, $-C_1$ - C_6 alkyl- C_3 - C_{10} cycloalkyl, $-CHO$, halogen, or a moiety of the formulae:



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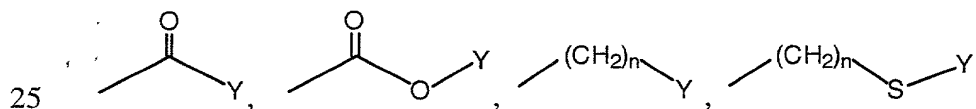
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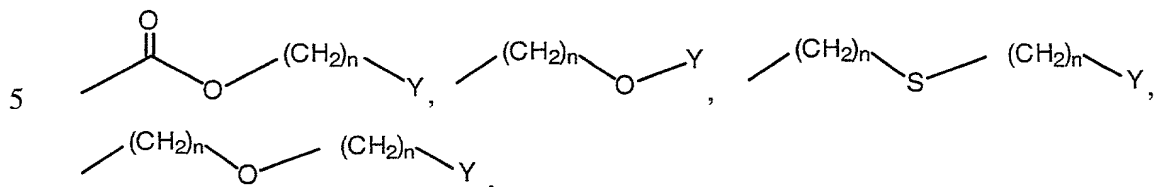
- 10 wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C₁-C₆ alkyl, C₃-C₅ cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl, morpholinyl, pyrrolidinyl, or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂ or a five
15 membered heterocyclic ring containing one heteroatom selected from N, S, or O, preferably S or O;

R₄ is selected from the group of C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, -(CH₂)_n-C₃-C₆ cycloalkyl, -(CH₂)_n-S-(CH₂)_n-C₃-C₅ cycloalkyl, -(CH₂)_n-O-(CH₂)_n-C₃-C₅ cycloalkyl, or the groups of:
20

a) -(CH₂)_n-phenyl-O-phenyl, -(CH₂)_n-phenyl-CH₂-phenyl, -(CH₂)_n-O-phenyl-CH₂-phenyl, -(CH₂)_n-phenyl-(O-CH₂-phenyl)₂, -CH₂-phenyl-C(O)-benzothiazole or a moiety of the formulae:

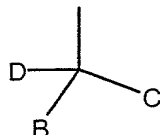


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wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C₃-C₅ cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl or pyrrolyl; rings of these groups being
10 optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂ or a five membered heterocyclic ring containing one heteroatom selected from N, S, or O, preferably S or O; or

b) a moiety of the formulae -(CH₂)_n-A, -(CH₂)_n-S-A, or -(CH₂)_n-O-A,
15 wherein A is the moiety:

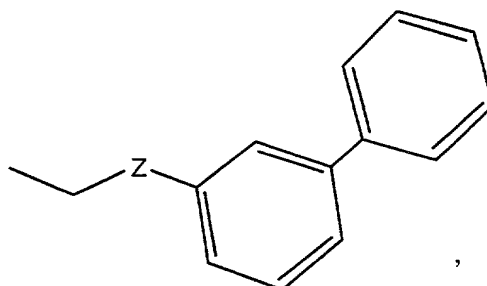


wherein

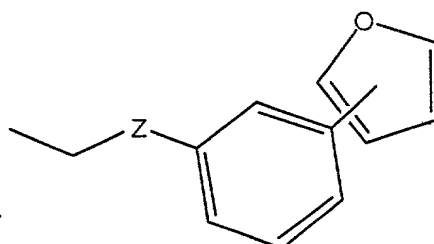
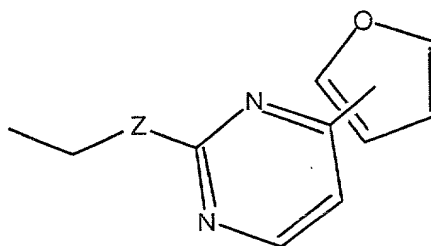
D is H, C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, or -CF₃;

B and C are independently selected from phenyl, pyridinyl, furyl, thienyl,
20 pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, or -NO₂; or

c) a moiety of the formulae:



5



or

d) a moiety of the formula $-L^2-M^2$, wherein:

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5 L² indicates a linking or bridging group of the formulae $-(CH_2)_n-$, $-S-$, $-O-$, $-SO_2-$, $-C(O)-$, $-(CH_2)_n-C(O)-$, $-(CH_2)_n-C(O)-(CH_2)_n-$, $-(CH_2)_n-O-(CH_2)_n-$, or $-(CH_2)_n-S-(CH_2)_n-$, $-C(O)C(O)X$;

where X = O,N

10 M² is selected from the group of C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, C₃-C₁₀ cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₁₀ alkyl, preferably C₁-C₆ alkyl, C₁-C₁₀ alkoxy, preferably C₁-C₆ alkoxy, $-NO_2$, $-NH_2$, $-CN$, or $-CF_3$; or

15

i) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, pyrrolidine, or tetrazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected
20 from halogen, C₁-C₁₀ alkyl, preferably C₁-C₆ alkyl, C₁-C₁₀ alkoxy, preferably C₁-C₆ alkoxy, $-NO_2$, $-NH_2$, $-CN$, or $-CF_3$; or

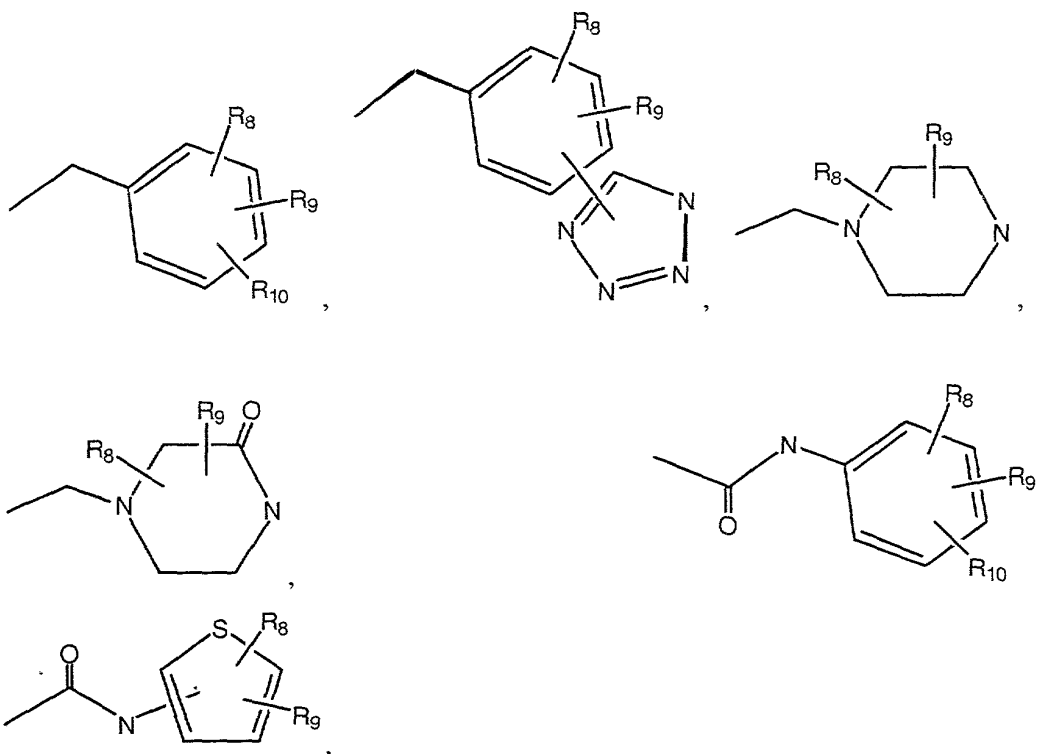
ii) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to pyridine,
25 pyrimidine, piperidine, piperazine, or morpholine, the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₁₀ alkyl, preferably C₁-C₆ alkyl, C₁-C₁₀ alkoxy, preferably C₁-C₆ alkoxy, $-CHO$, $-NO_2$, $-NH_2$, $-CN$, $-CF_3$ or $-OH$; or

30 iii) a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including,

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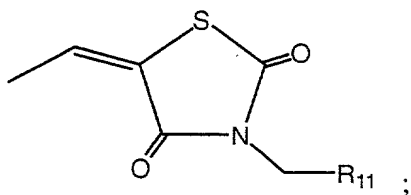
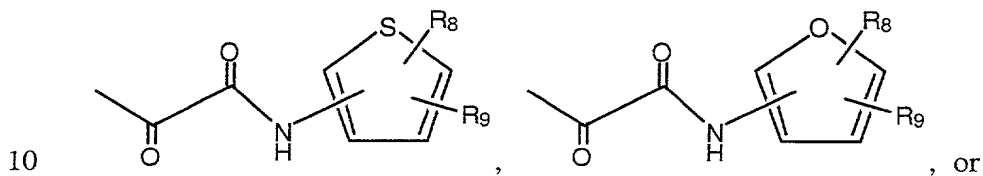
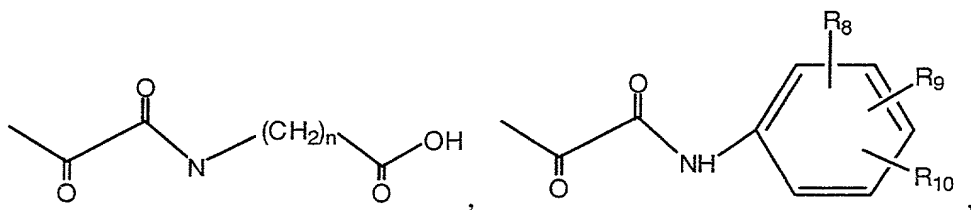
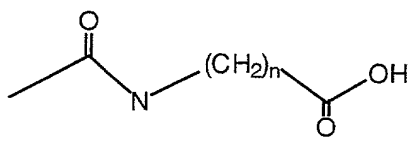
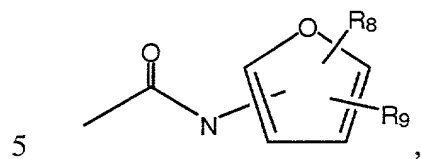
5 but not limited to benzofuran, indole, indoline, naphthalene, purine, or quinoline, the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₁₀ alkyl, preferably C₁-C₆ alkyl, C₁-C₁₀ alkoxy, preferably C₁-C₆ alkoxy, -CHO, -NO₂, -NH₂, -CN, -CF₃ or -OH;

10 R₅ is selected from -COOH, -C(O)-COOH, -(CH₂)_n-C(O)-COOH, -(CH₂)_n-COOH, -CH₂-phenyl-C(O)-benzothiazole, (CH₂)_n-CH=CH-COOH,

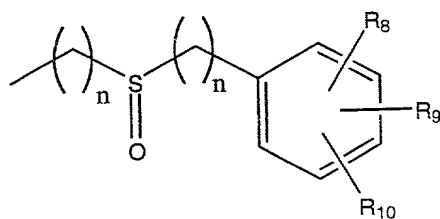
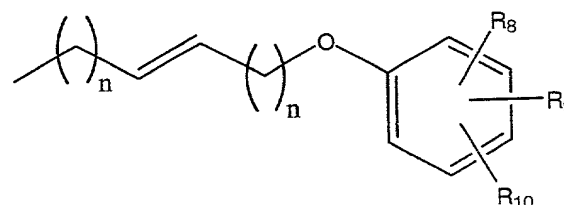
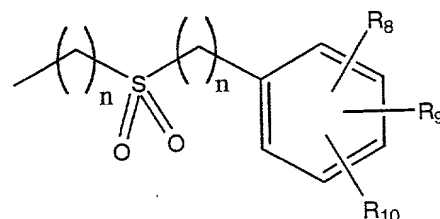
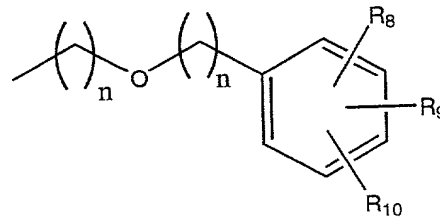
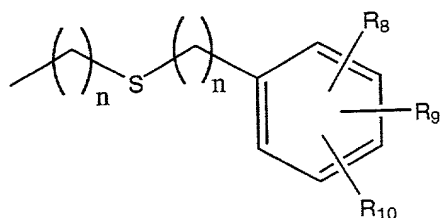


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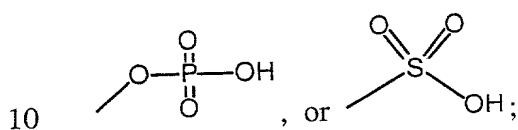
- 211 -



5

n is an integer from 0 to 3;

R_8 is selected from H, -COOH, $-(CH_2)_n-COOH$, $-(CH_2)_n-C(O)-COOH$, tetrazole, -C(O)-NH₂, $-(CH_2)_n-C(O)-NH_2$,



n is an integer from 0 to 3;

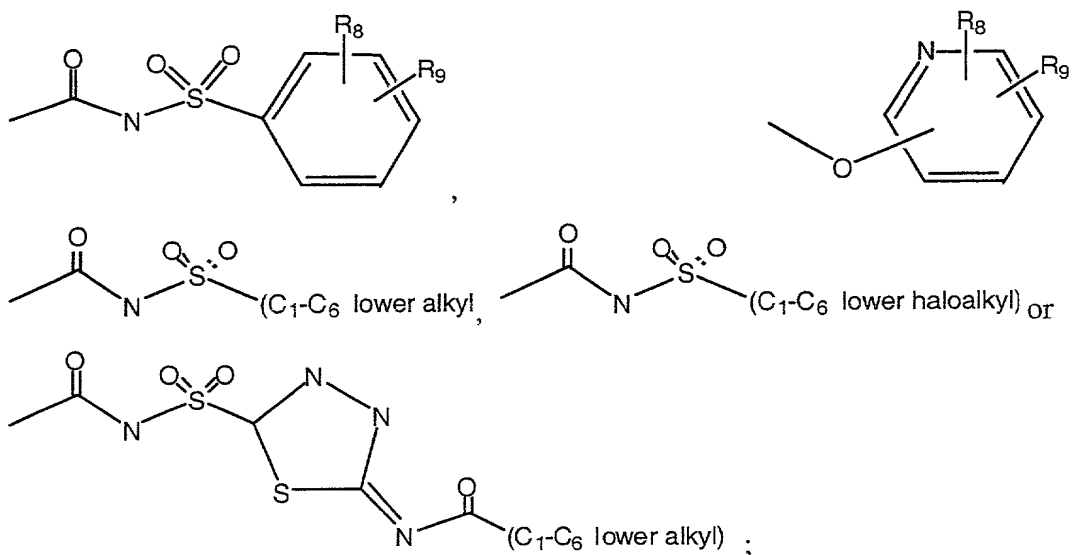
R_9 is selected from H, halogen, -CF₃, -OH, $-(CH_2)_n-COOH$, $-(CH_2)_n-C(O)-COOH$, -C₁-C₆ alkyl, -O-C₁-C₆ alkyl, -NH(C₁-C₆ alkyl), -N(C₁-C₆ alkyl)₂;

15 n is an integer from 0 to 3;

R_{10} is selected from the group of H, halogen, -CF₃, -OH, $-(CH_2)_n-COOH$,

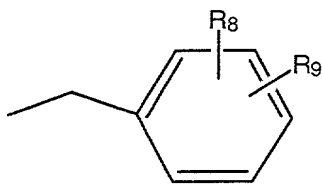
- 212 -

- 5 $-(CH_2)_n-C(O)-COOH$, $-C_1-C_6$ alkyl, $-O-C_1-C_6$ alkyl, $-NH(C_1-C_6$ alkyl), $-N(C_1-C_6$ alkyl)₂,



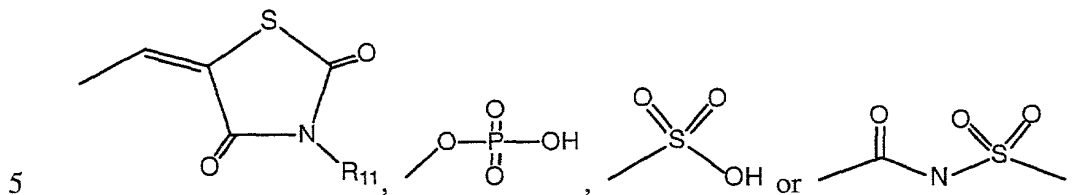
- 10 n is an integer from 0 to 3;

R_{11} is selected from H, C_1-C_6 lower alkyl, $-CF_3$, $-COOH$, $-(CH_2)_n-COOH$, $-(CH_2)_n-C(O)-COOH$, or



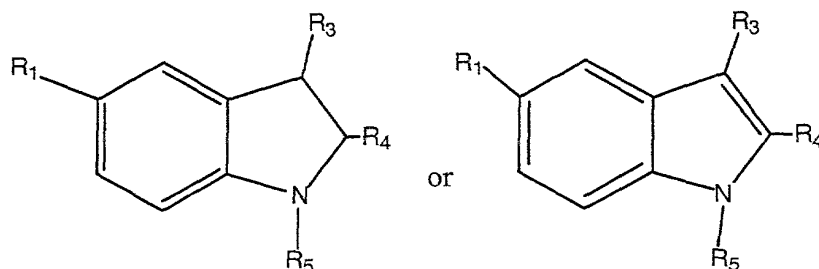
- 15 with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R_5 , R_8 , R_9 , R_{10} , and/or R_{11} shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: $-C(O)-NH_2$, $-(CH_2)_n-C(O)-NH_2$,

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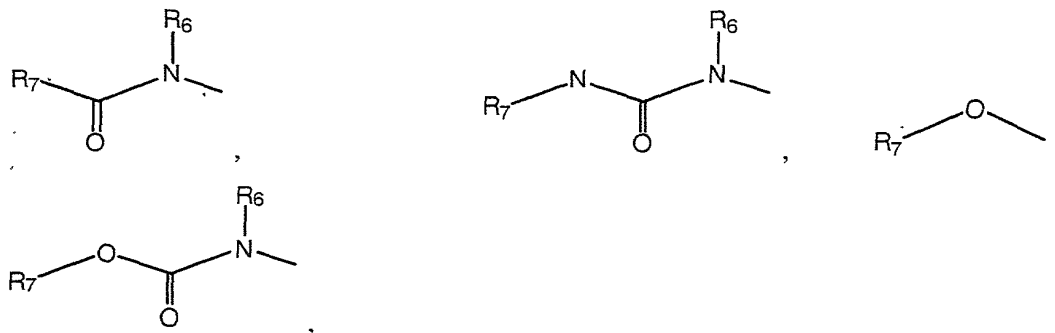
n is an integer from 0 to 3;
 or a pharmaceutically acceptable salt thereof.

10 6. A compound of Claim 2 having the formula:

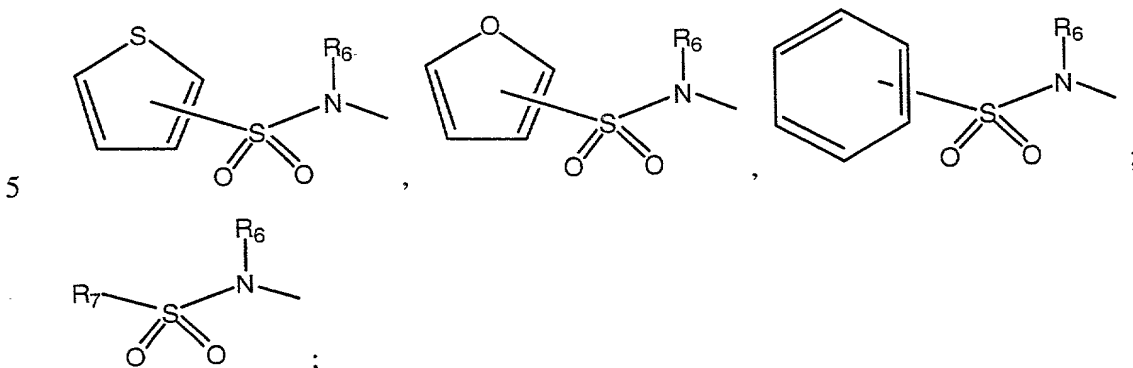


wherein:

R_1 is selected from Halogen, $-NH_2$, $-O$ -phenyl, benzyl, $-O$ -benzyl, $-N$ -benzyl, $-N$ -benzyl- O -phenyl, $-S$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, $-NO_2$, $-NH_2$, $-CN$, $-CF_3$, or $-OH$; or R_1 is or a moiety of the formulae:



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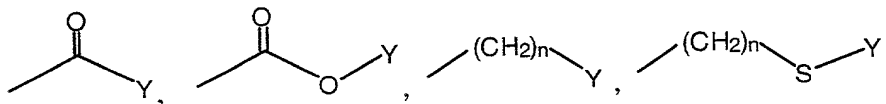


R_6 is selected from H, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by
 10 from 1 to 3 substituents selected from halogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, $-NO_2$, $-CF_3$, or -OH;

R_7 is selected from $-(CH_2)_n-COOH$, $-(CH_2)_n-N-(C_1-C_6 \text{ alkyl})_2$, $-(CH_2)_n-NH-(C_1-C_6 \text{ alkyl})$, $-CF_3$, C_1 - C_6 alkyl, C_3 - C_5 cycloalkyl, C_1 - C_6 alkoxy, $-NH-(C_1-C_6 \text{ alkyl})$, $-N-(C_1-C_6 \text{ alkyl})_2$, pyridinyl, thienyl, furyl, pyrrolyl, phenyl, -O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, the rings of these groups being optionally
 15 substituted by from 1 to 3 substituents selected from halogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, $-NO_2$, $-CF_3$, or -OH;

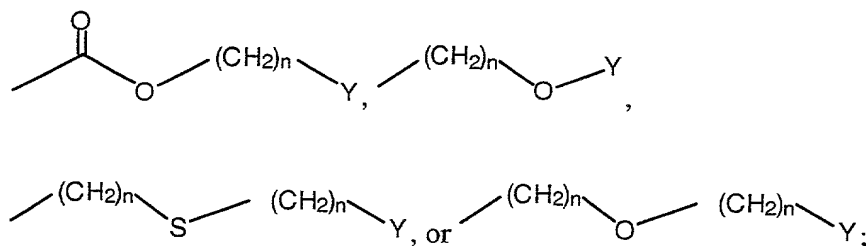
n is an integer from 0 to 3;

20 R_3 is selected from H, $-CF_3$, $-COOH$, C_1 - C_6 lower alkyl, C_1 - C_6 lower alkoxy, C_3 - C_{10} cycloalkyl, $-C_1$ - C_6 alkyl- C_3 - C_{10} cycloalkyl, $-CHO$, halogen, or a moiety of the formulae:



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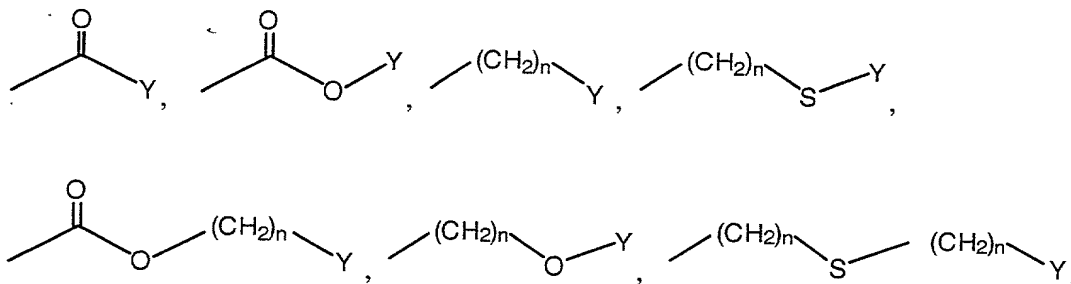
- 10 wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C₁-C₆ alkyl, C₃-C₅ cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl, morpholinyl, pyrrolidinyl, or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂ or a five
15 membered heterocyclic ring containing one heteroatom selected from N, S, or O, preferably S or O;

R₄ is selected from the group of C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, -(CH₂)_n-C₃-C₆ cycloalkyl, -(CH₂)_n-S-(CH₂)_n-C₃-C₅ cycloalkyl, -(CH₂)_n-O-(CH₂)_n-C₃-C₅ cycloalkyl, or the groups of:

20

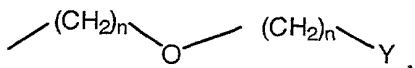
a) -(CH₂)_n-phenyl-O-phenyl, -(CH₂)_n-phenyl-CH₂-phenyl, -(CH₂)_n-O-phenyl-CH₂-phenyl, -(CH₂)_n-phenyl-(O-CH₂-phenyl)₂, -CH₂-phenyl-C(O)-benzothiazole or a moiety of the formulae:

25



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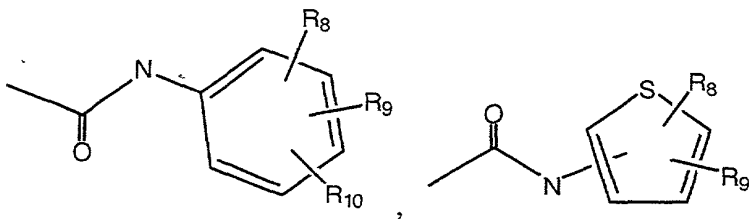
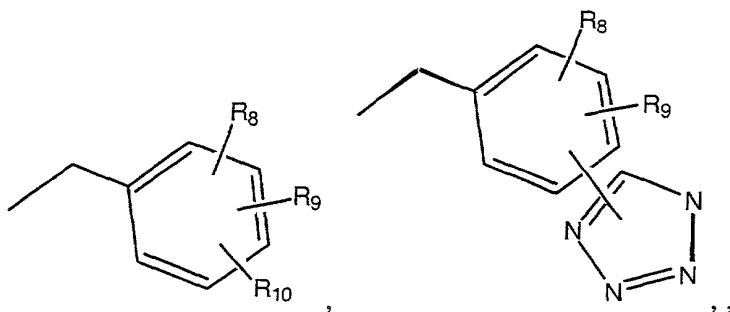
wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C₃-C₅ cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl or pyrrolyl; rings of these groups being
 10 optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂ or a five membered heterocyclic ring containing one heteroatom selected from N, S, or O, preferably S or O;

n is an integer from 0 to 3;

R₅ is selected from -COOH, -C(O)-COOH, -(CH₂)_n-C(O)-COOH, -(CH₂)_n-COOH, -

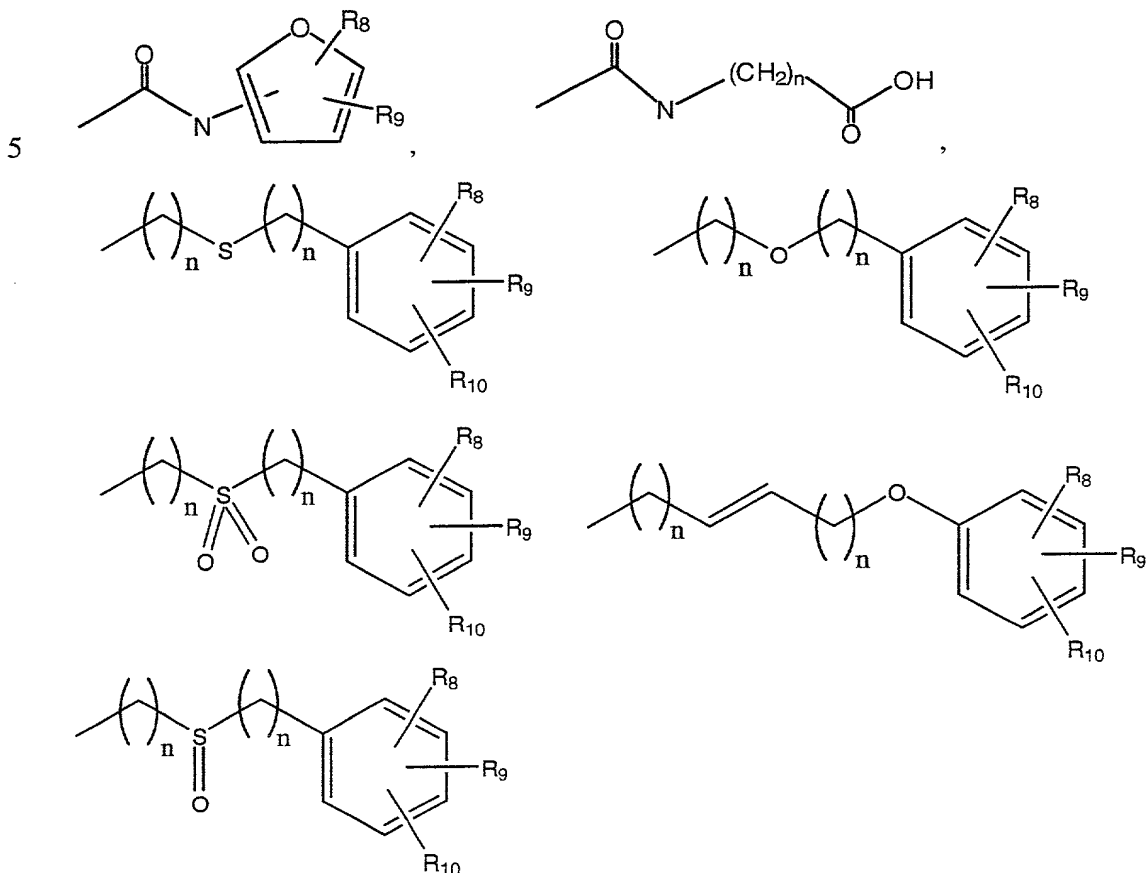
15 CH₂-phenyl-C(O)-benzothiazole,

(CH₂)_n-CH=CH-COOH,



20

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n is an integer from 0 to 3;

R_8 is selected from H, $-\text{COOH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, tetrazole, $-\text{C(O)}-\text{NH}_2$, $-(\text{CH}_2)_n-\text{C(O)}-\text{NH}_2$,

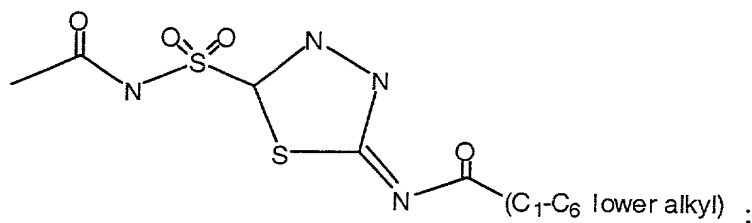
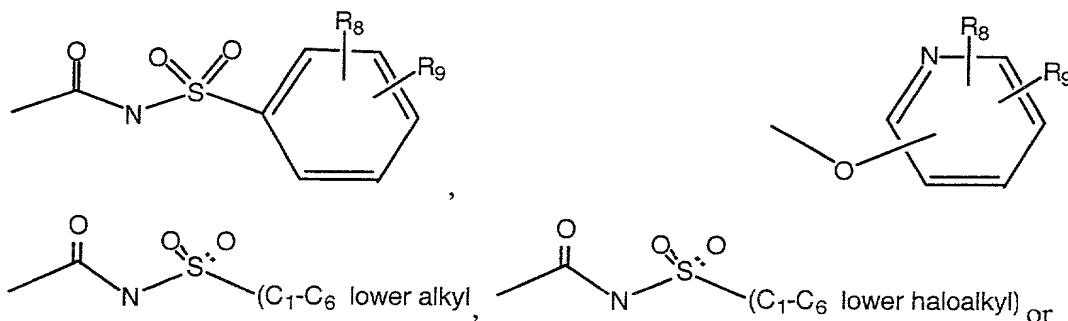
10 n is an integer from 0 to 3;

R_9 is selected from H, halogen, $-\text{CF}_3$, $-\text{OH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, $-\text{C}_1-\text{C}_6$ alkyl, $-\text{O}-\text{C}_1-\text{C}_6$ alkyl, $-\text{NH}(\text{C}_1-\text{C}_6$ alkyl), $-\text{N}(\text{C}_1-\text{C}_6$ alkyl)₂;

15 n is an integer from 0 to 3;

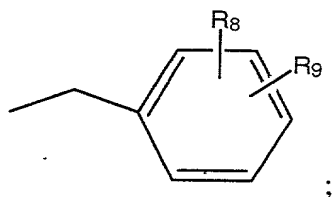
- 218 -

- 5 R_{10} is selected from the group of H, halogen, $-\text{CF}_3$, $-\text{OH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, $-\text{C}_1-\text{C}_6$ alkyl, $-\text{O}-\text{C}_1-\text{C}_6$ alkyl, $-\text{NH}(\text{C}_1-\text{C}_6 \text{ alkyl})$, $-\text{N}(\text{C}_1-\text{C}_6 \text{ alkyl})_2$,



n is an integer from 0 to 3;

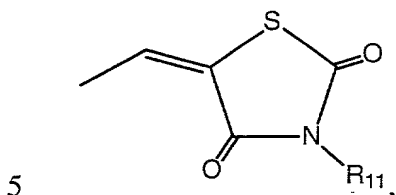
R_{11} is selected from H, C_1-C_6 lower alkyl, $-\text{CF}_3$, $-\text{COOH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, or



15

with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R_5 , R_8 , R_9 , R_{10} , and/or R_{11} shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: $-\text{C(O)}-\text{NH}_2$, $-(\text{CH}_2)_n-\text{C(O)}-\text{NH}_2$,

- 219 -

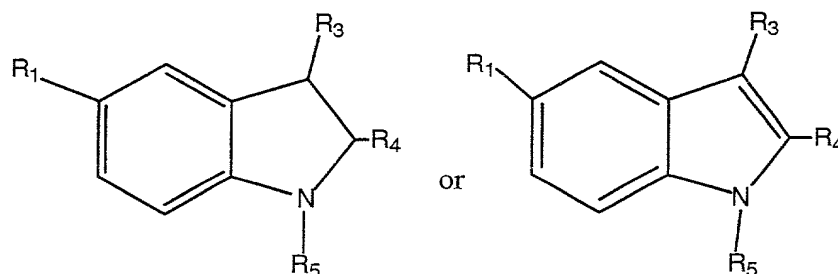


n is an integer from 0 to 3;

or a pharmaceutically acceptable salt thereof.

7. A compound of Claim 2 having the formula:

10



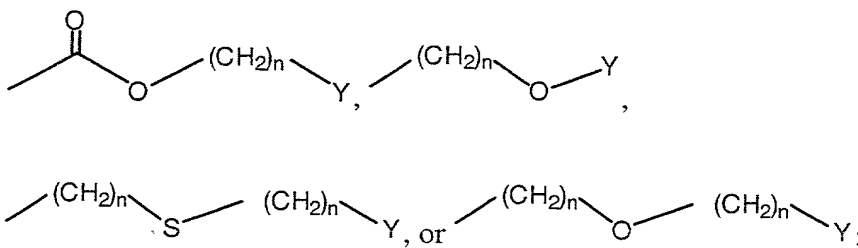
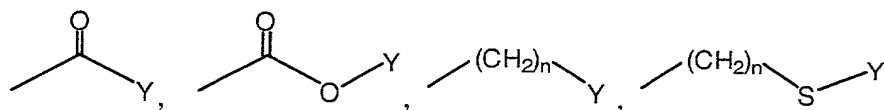
wherein:

15 R_1 is selected from Halogen, $-NH_2$, $-O$ -phenyl, benzyl, $-O$ -benzyl, $-N$ -benzyl, $-N$ -benzyl- O -phenyl, $-S$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, $-NO_2$, $-NH_2$, $-CN$, $-CF_3$, or $-OH$; or R_1 is or a moiety of the formulae:

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5 n is an integer from 0 to 3;

R₃ is selected from H, -CF₃, -COOH, C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, C₃-C₁₀ cycloalkyl, -C₁-C₆ alkyl-C₃-C₁₀ cycloalkyl, -CHO, halogen, or a moiety of the formulae:



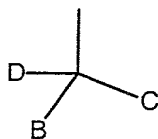
15 wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C₁-C₆ alkyl, C₃-C₅ cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl, morpholinyl, pyrrolidinyl, or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂ or a five
 20 membered heterocyclic ring containing one heteroatom selected from N, S, or O, preferably S or O;

R₄ is selected from the group of C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, -(CH₂)_n-C₃-C₆ cycloalkyl, -(CH₂)_n-S-(CH₂)_n-C₃-C₅ cycloalkyl, -(CH₂)_n-O-(CH₂)_n-C₃-C₅ cycloalkyl, or the groups of:

25

a) a moiety of the formulae -(CH₂)_n-A, -(CH₂)_n-S-A, or -(CH₂)_n-O-A, wherein A is the moiety:

- 222 -



5

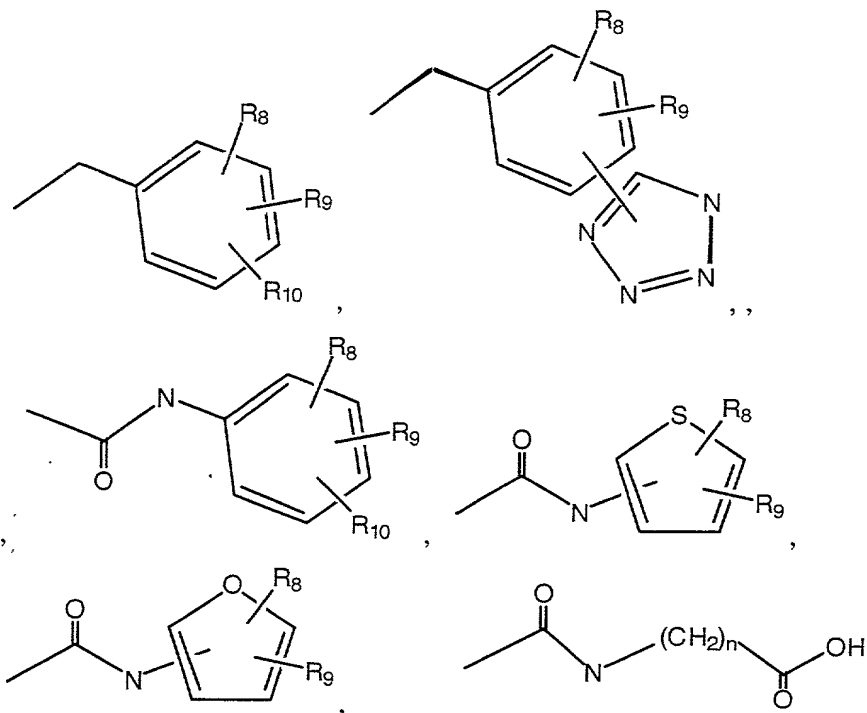
wherein

D is H, C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, or -CF₃;

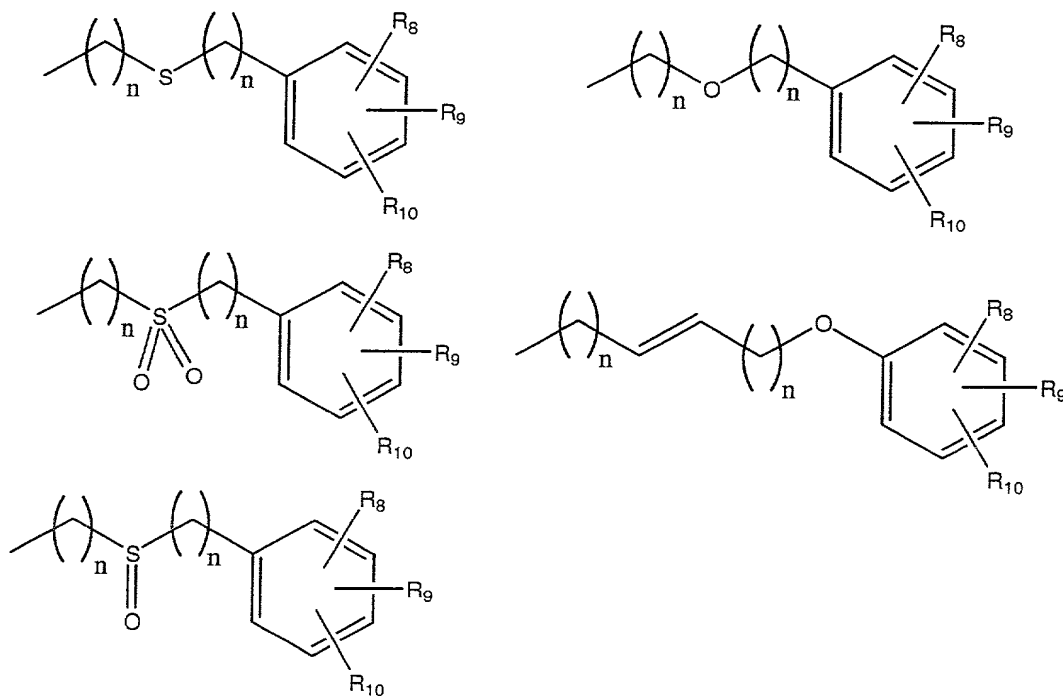
B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, or -NO₂;

R₅ is selected from -COOH, -C(O)-COOH, -(CH₂)_n-C(O)-COOH, -(CH₂)_n-COOH, -CH₂-phenyl-C(O)-benzothiazole, (CH₂)_n-CH=CH-COOH,

15



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5

n is an integer from 0 to 3;

R_8 is selected from H, $-\text{COOH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, tetrazole, $-\text{C(O)}-\text{NH}_2$, $-(\text{CH}_2)_n-\text{C(O)}-\text{NH}_2$,

n is an integer from 0 to 3;

10

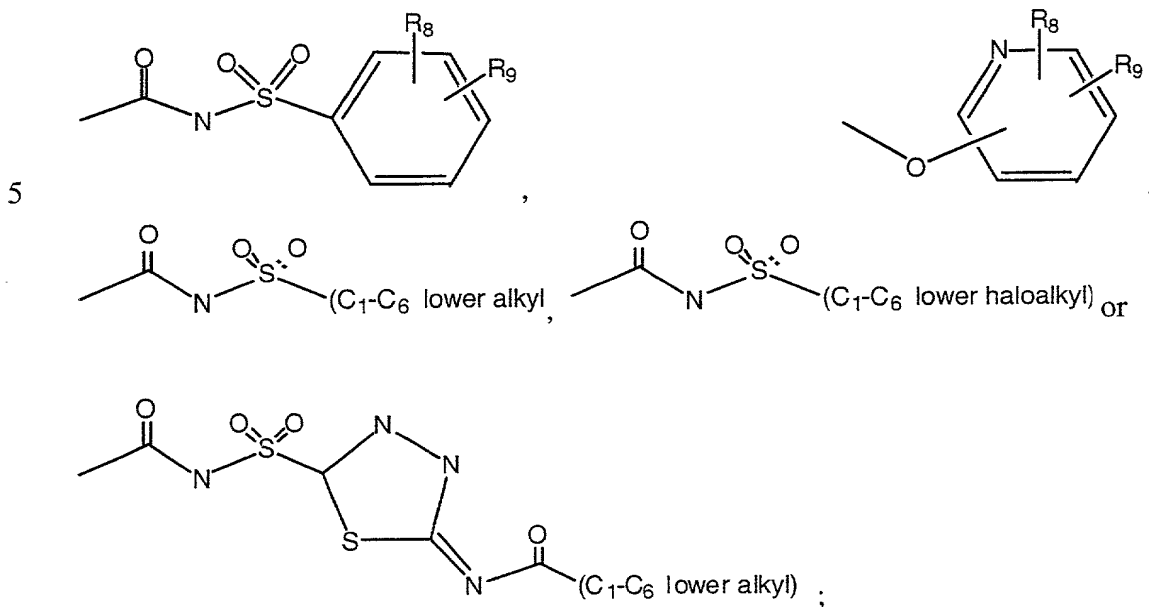
R_9 is selected from H, halogen, $-\text{CF}_3$, $-\text{OH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, $-\text{C}_1-\text{C}_6$ alkyl, $-\text{O}-\text{C}_1-\text{C}_6$ alkyl, $-\text{NH}(\text{C}_1-\text{C}_6 \text{ alkyl})$, $-\text{N}(\text{C}_1-\text{C}_6 \text{ alkyl})_2$;

n is an integer from 0 to 3;

15

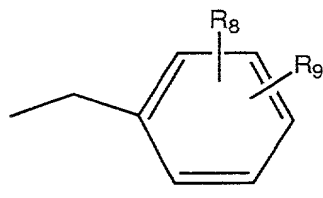
R_{10} is selected from the group of H, halogen, $-\text{CF}_3$, $-\text{OH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, $-\text{C}_1-\text{C}_6$ alkyl, $-\text{O}-\text{C}_1-\text{C}_6$ alkyl, $-\text{NH}(\text{C}_1-\text{C}_6 \text{ alkyl})$, $-\text{N}(\text{C}_1-\text{C}_6 \text{ alkyl})_2$,

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n is an integer from 0 to 3;

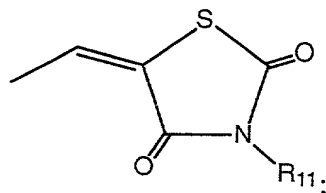
- 10 R₁₁ is selected from H, C₁-C₆ lower alkyl, -CF₃, -COOH, -(CH₂)_n-COOH, -(CH₂)_n-C(O)-COOH, or



- with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R₅, R₈, R₉, R₁₀, and/or R₁₁ shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: -C(O)-NH₂, -(CH₂)_n-C(O)-NH₂, or
- 15

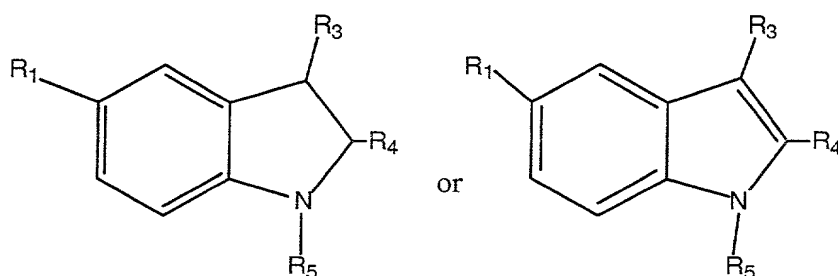
- 225 -

5



n is an integer from 0 to 3;
 or a pharmaceutically acceptable salt thereof.

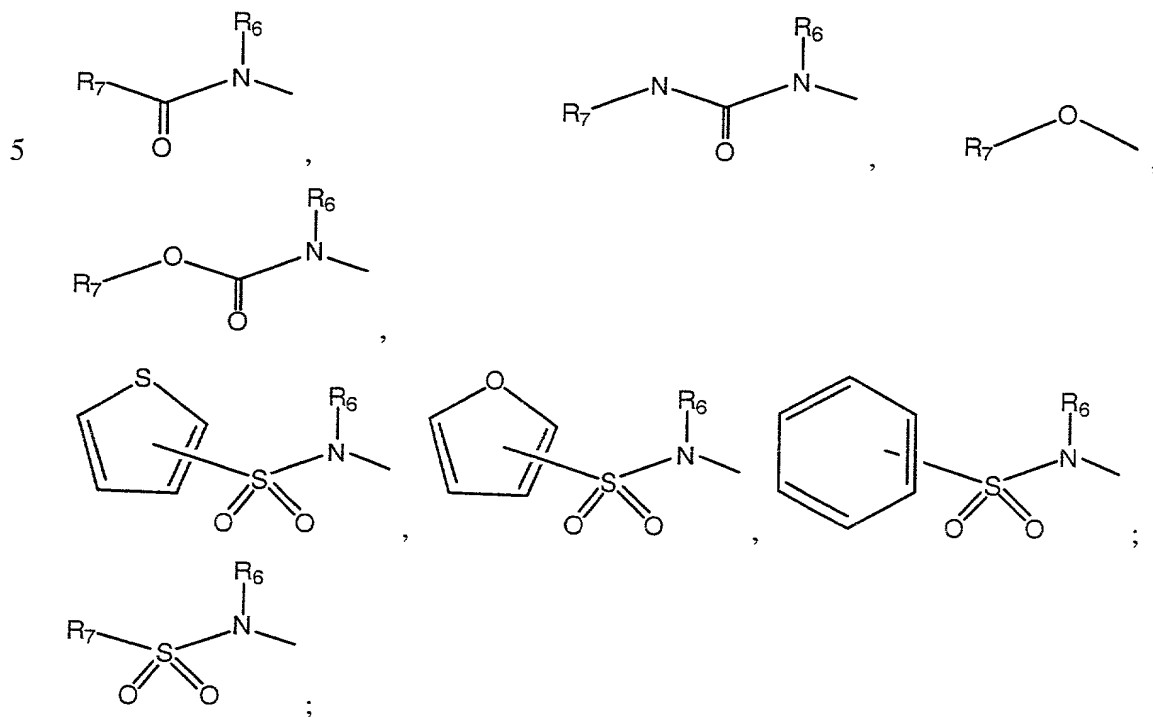
10 8. A compound of Claim 2 having the formula:



wherein:

15 R₁ is selected from halogen, -NH₂, -O-phenyl, benzyl, -O-benzyl, -N-benzyl, -N-benzyl-O-phenyl, -S-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, -NO₂, -NH₂, -CN, -CF₃, or -OH; or R₁ is or a moiety of the formulae:

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R_6 is selected from H, C_1-C_6 alkyl, C_1-C_6 alkoxy, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, $-NO_2$, $-CF_3$, or $-OH$;

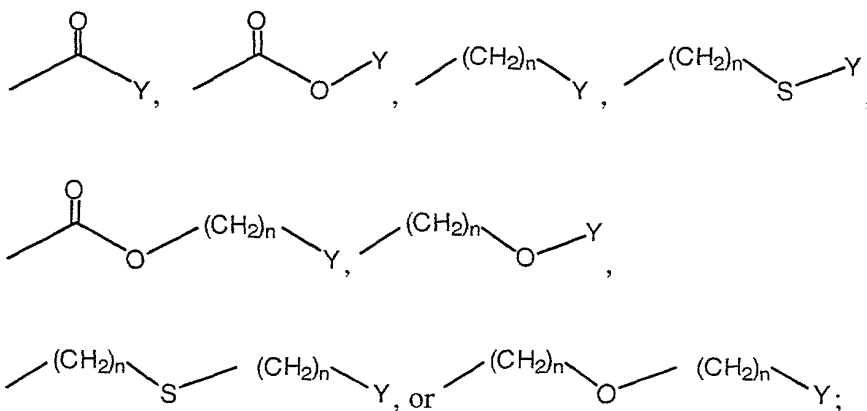
R_7 is selected from $-(CH_2)_n-COOH$, $-(CH_2)_n-N-(C_1-C_6 \text{ alkyl})_2$, $-(CH_2)_n-NH-(C_1-C_6 \text{ alkyl})$, $-CF_3$, C_1-C_6 alkyl, C_3-C_5 cycloalkyl, C_1-C_6 alkoxy, $-NH-(C_1-C_6 \text{ alkyl})$, $-N-(C_1-C_6 \text{ alkyl})_2$, pyridinyl, thienyl, furyl, pyrrolyl, phenyl, -O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, $-NO_2$, $-CF_3$, or $-OH$;

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5

n is an integer from 0 to 3;

10 R_3 is selected from H, $-CF_3$, $-COOH$, C_1-C_6 lower alkyl, C_1-C_6 lower alkoxy, C_3-C_{10} cycloalkyl, $-C_1-C_6$ alkyl- C_3-C_{10} cycloalkyl, $-CHO$, halogen, or a moiety of the formulae:

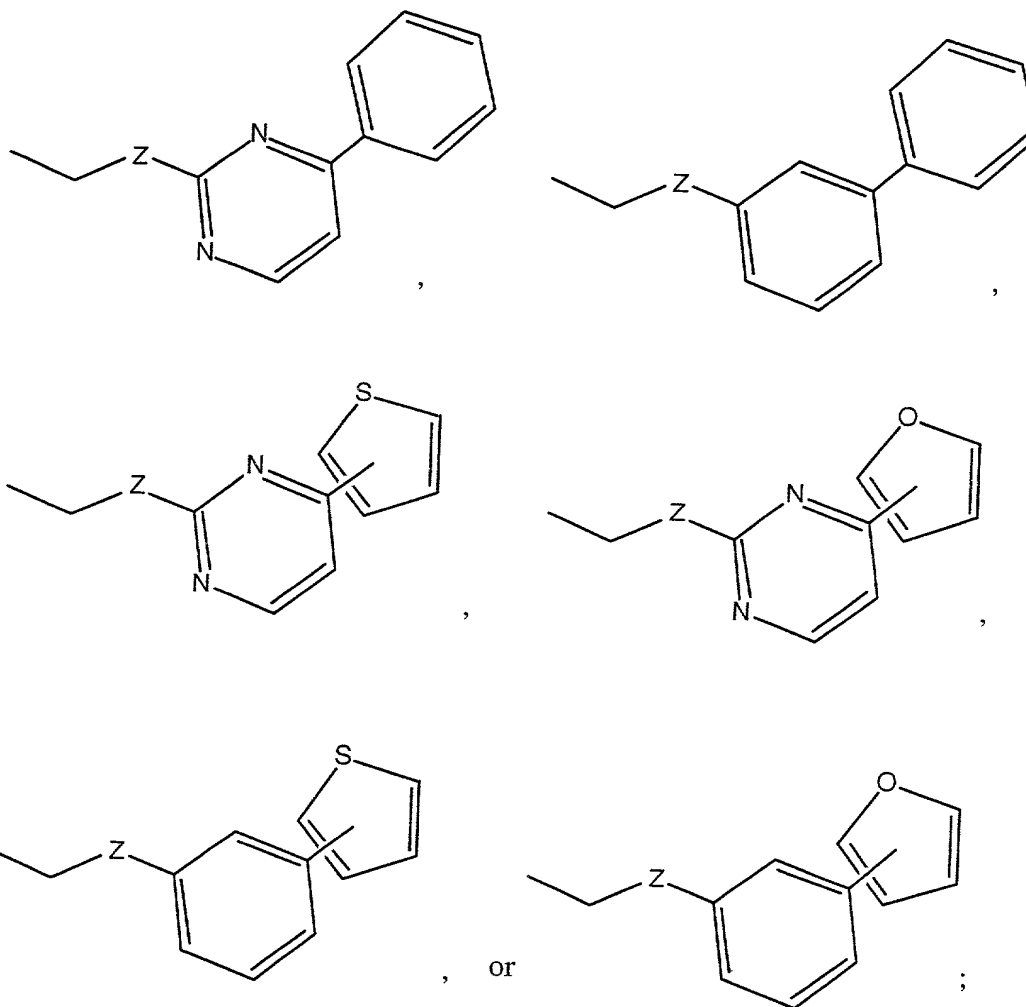


20 wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C_1-C_6 alkyl, C_3-C_5 cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl, morpholinyl, pyrrolidinyl, or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, $-CF_3$, $-OH$, $-C_1-C_6$ alkyl, C_1-C_6 alkoxy, $-NH_2$, $-NO_2$ or a five membered heterocyclic ring containing one heteroatom selected from N, S, or O, preferably S or O;

25 R_4 is selected from the group of C_1-C_6 lower alkyl, C_1-C_6 lower alkoxy, $-(CH_2)_n-C_3-C_6$ cycloalkyl, $-(CH_2)_n-S-(CH_2)_n-C_3-C_5$ cycloalkyl, $-(CH_2)_n-O-(CH_2)_n-C_3-C_5$ cycloalkyl, or the groups of:

- 228 -

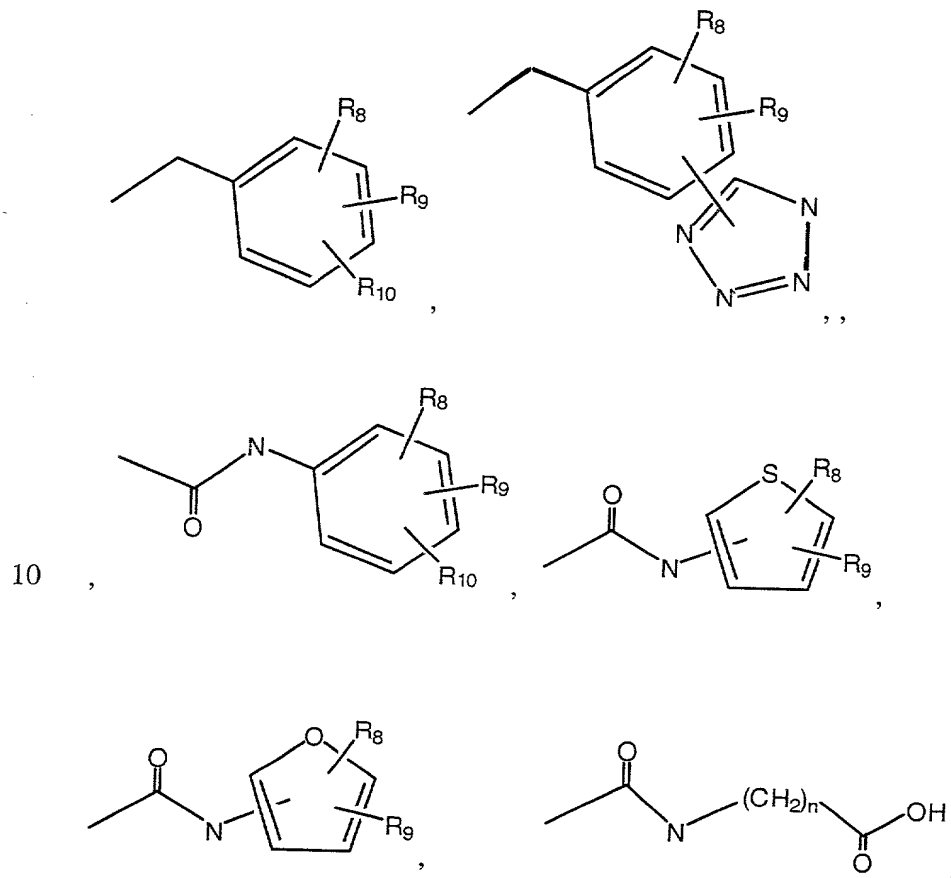
5 a) a moiety of the formulae:



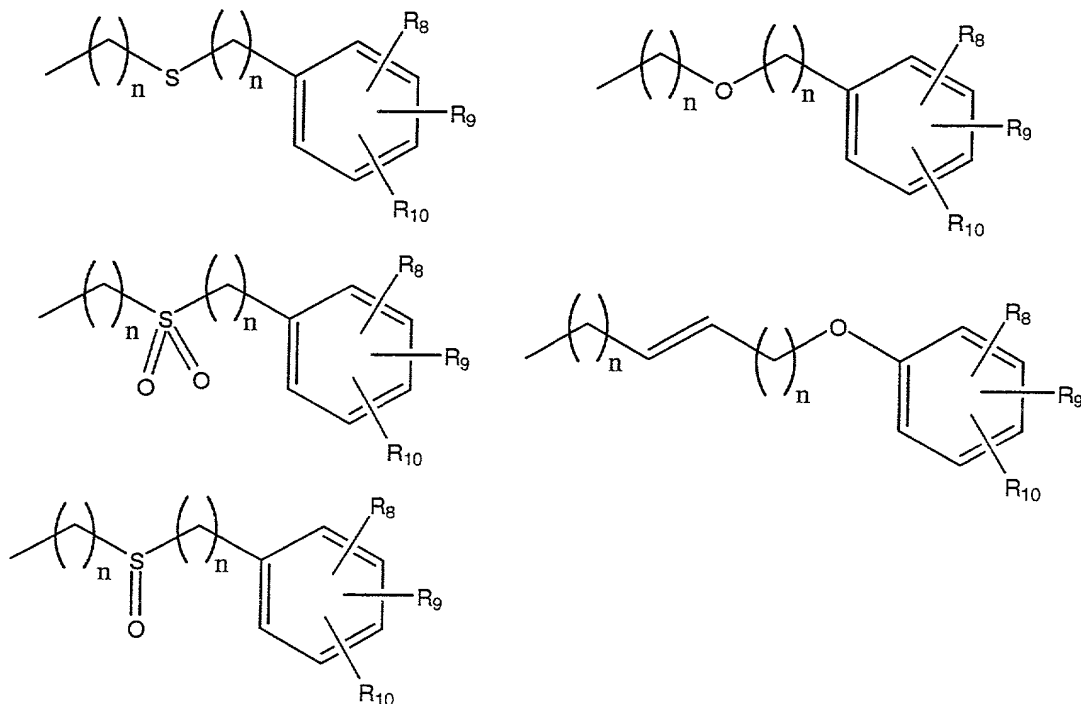
10 wherein Z is O or S and the phenyl and pyrimidinyl rings of each moiety are optionally and independently substituted by from 1 to 3 substituents selected from halogen, $-\text{CF}_3$, $-\text{OH}$, $-\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkoxy, $-\text{NH}_2$, or $-\text{NO}_2$; n is an integer from 0 to 3;

- 229 -

- 5 R_5 is selected from $-\text{COOH}$, $-\text{C(O)}-\text{COOH}$, $-(\text{CH}_2)_n-\text{C(O)}-\text{COOH}$, $-(\text{CH}_2)_n-\text{COOH}$, $-\text{CH}_2\text{-phenyl-C(O)-benzothiazole}$, $(\text{CH}_2)_n-\text{CH=CH-COOH}$,



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5

n is an integer from 0 to 3;

R_8 is selected from H, ---COOH , $\text{---(CH}_2)_n\text{---COOH}$, $\text{---(CH}_2)_n\text{---C(O)---COOH}$, tetrazole, ---C(O)---NH_2 , $\text{---(CH}_2)_n\text{---C(O)---NH}_2$,

n is an integer from 0 to 3;

10

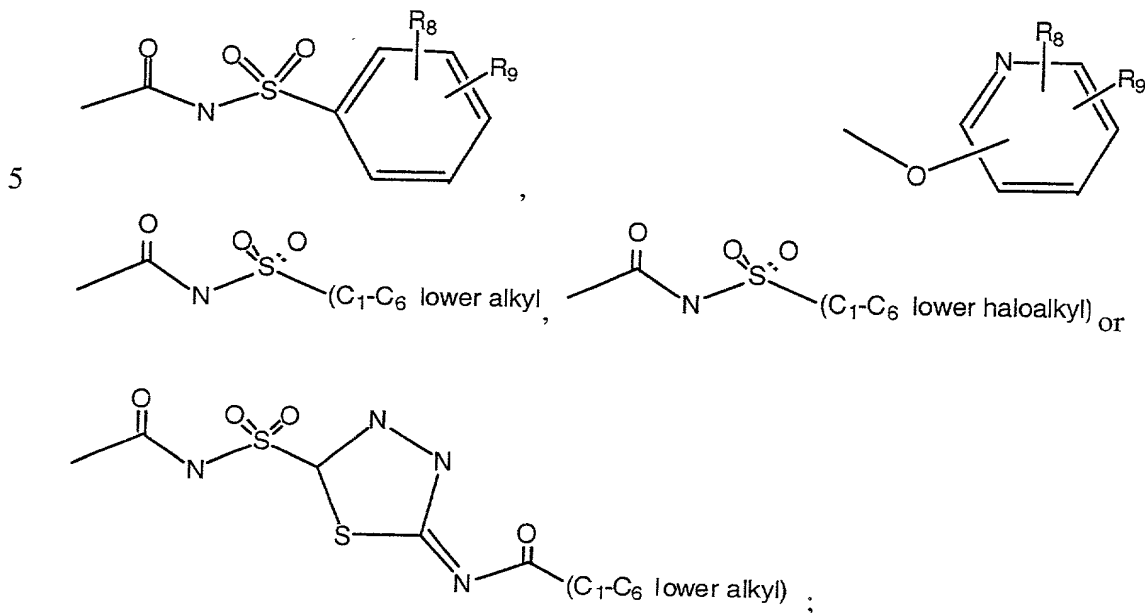
R_9 is selected from H, halogen, ---CF_3 , ---OH , $\text{---(CH}_2)_n\text{---COOH}$, $\text{---(CH}_2)_n\text{---C(O)---COOH}$, $\text{---C}_1\text{---C}_6$ alkyl, $\text{---O---C}_1\text{---C}_6$ alkyl, $\text{---NH(C}_1\text{---C}_6\text{ alkyl)}$, $\text{---N(C}_1\text{---C}_6\text{ alkyl)}_2$;

n is an integer from 0 to 3;

R_{10} is selected from the group of H, halogen, ---CF_3 , ---OH , $\text{---(CH}_2)_n\text{---COOH}$, $\text{---(CH}_2)_n\text{---C(O)---COOH}$, $\text{---C}_1\text{---C}_6$ alkyl, $\text{---O---C}_1\text{---C}_6$ alkyl, $\text{---NH(C}_1\text{---C}_6\text{ alkyl)}$, $\text{---N(C}_1\text{---C}_6\text{ alkyl)}_2$,

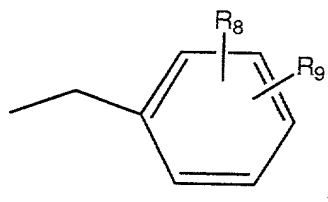
15

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n is an integer from 0 to 3;

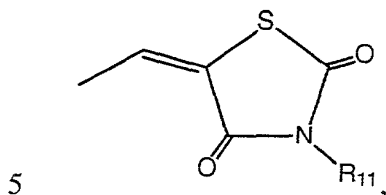
10 R_{11} is selected from H, C_1-C_6 lower alkyl, $-CF_3$, $-COOH$, $-(CH_2)_n-COOH$, $-(CH_2)_n-C(O)-COOH$, or



with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R_5 , R_8 , R_9 , R_{10} , and/or R_{11} shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: $-C(O)-NH_2$, $-(CH_2)_n-C(O)-NH_2$,

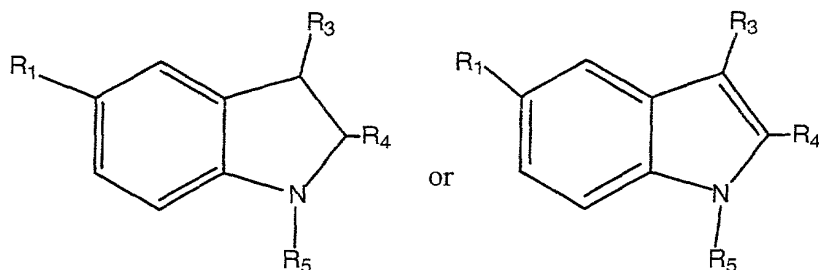
15

- 232 -



n is an integer from 0 to 3;
 or a pharmaceutically acceptable salt thereof.

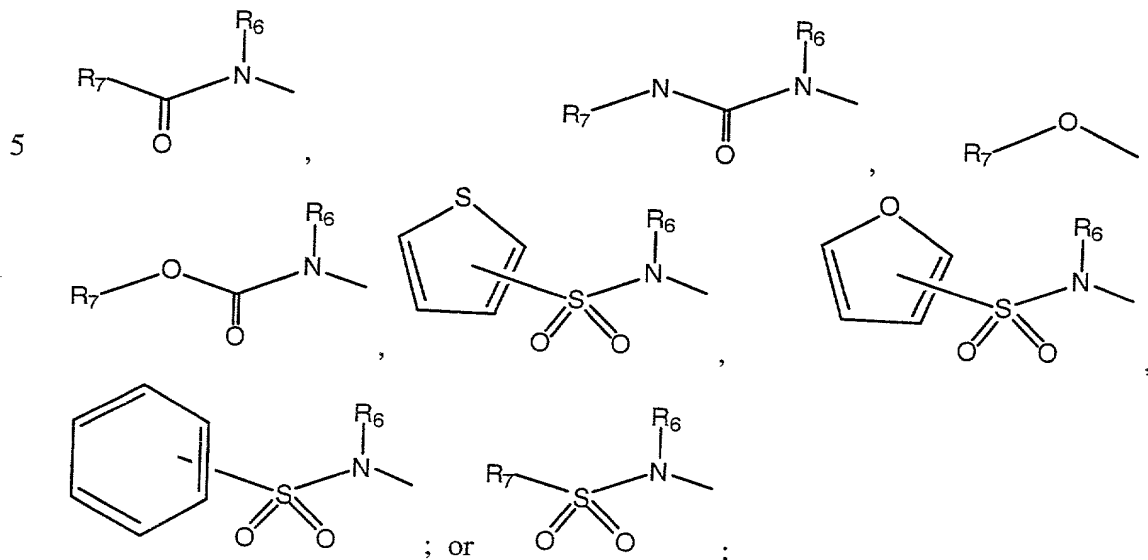
9. A compound of Claim 2 having the formula:



15 wherein:

R₁ is selected from halogen, -NH₂, -O-phenyl, benzyl, -O-benzyl, -N-benzyl, -N-benzyl-O-phenyl, -S-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, -NO₂, -NH₂, -CN, -CF₃, or -OH; or R₁ is or a moiety of the formulae:

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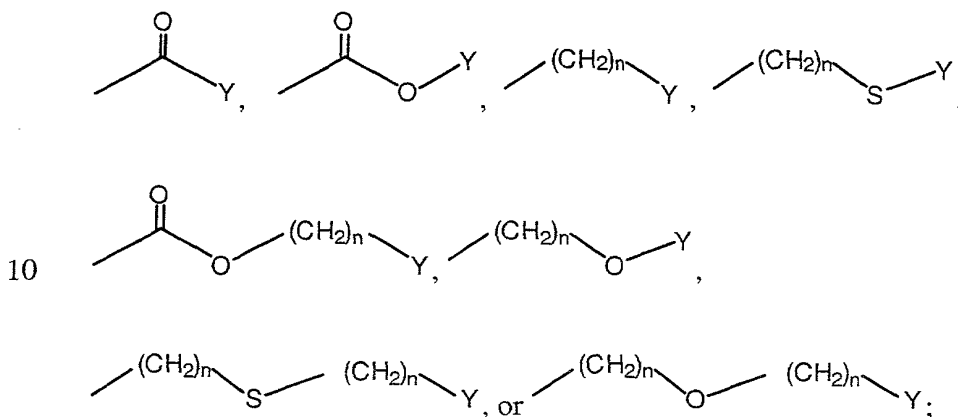


- 10 R_6 is selected from H, C_1-C_6 alkyl, C_1-C_6 alkoxy, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, $-NO_2$, $-CF_3$, or $-OH$;

- 15 R_7 is selected from $-(CH_2)_n-COOH$, $-(CH_2)_n-N-(C_1-C_6 \text{ alkyl})_2$, $-(CH_2)_n-NH-(C_1-C_6 \text{ alkyl})$, $-CF_3$, C_1-C_6 alkyl, C_3-C_5 cycloalkyl, C_1-C_6 alkoxy, $-NH-(C_1-C_6 \text{ alkyl})$, $-N-(C_1-C_6 \text{ alkyl})_2$, pyridinyl, thienyl, furyl, pyrrolyl, phenyl, -O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, $-NO_2$, $-CF_3$, or $-OH$;
- 20 n is an integer from 0 to 3;

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- 5 R_3 is selected from H, $-CF_3$, $-COOH$, C_1-C_6 lower alkyl, C_1-C_6 lower alkoxy, C_3-C_{10} cycloalkyl, $-C_1-C_6$ alkyl- C_3-C_{10} cycloalkyl, $-CHO$, halogen, or a moiety of the formulae:



- 15 wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C_1-C_6 alkyl, C_3-C_5 cycloalkyl, phenyl, benzyl, naphthyl, pyridinyl, quinolyl, furyl, thienyl, morpholinyl, pyrrolidinyl, or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, $-CF_3$, $-OH$, $-C_1-C_6$ alkyl, C_1-C_6 alkoxy, $-NH_2$, $-NO_2$ or a five membered heterocyclic ring containing one heteroatom selected from N, S, or O,
20 preferably S or O;

R_4 is selected from the group of C_1-C_6 lower alkyl, C_1-C_6 lower alkoxy, $-(CH_2)_n-C_3-C_6$ cycloalkyl, $-(CH_2)_n-S-(CH_2)_n-C_3-C_5$ cycloalkyl, $-(CH_2)_n-O-(CH_2)_n-C_3-C_5$ cycloalkyl, or the groups of:

- 25 a) a moiety of the formula $-L^2-M^2$, wherein:

L^2 indicates a linking or bridging group of the formulae $-(CH_2)_n-$, $-S-$, $-O-$,

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- 5 $-\text{SO}_2-$, $-\text{C}(\text{O})-$, $-(\text{CH}_2)_n-\text{C}(\text{O})-$, $-(\text{CH}_2)_n-\text{C}(\text{O})-(\text{CH}_2)_n-$, $-(\text{CH}_2)_n-\text{O}-(\text{CH}_2)_n-$, or $-(\text{CH}_2)_n-\text{S}-(\text{CH}_2)_n-$, $-\text{C}(\text{O})\text{C}(\text{O})\text{X}$;

where $\text{X} = \text{O}, \text{N}$

- 10 M^2 is selected from the group of C_1-C_6 lower alkyl, C_1-C_6 lower alkoxy, C_3-C_{10} cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_{10} alkyl, preferably C_1-C_6 alkyl, C_1-C_{10} alkoxy, preferably C_1-C_6 alkoxy, $-\text{NO}_2$, $-\text{NH}_2$, $-\text{CN}$, or $-\text{CF}_3$; or

- 15 i) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, pyrrolidine, or tetrazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_{10} alkyl, preferably C_1-C_6 alkyl, C_1-C_{10} alkoxy, preferably C_1-C_6 alkoxy, $-\text{NO}_2$, $-\text{NH}_2$, $-\text{CN}$, or $-\text{CF}_3$; or
- 20 alkoxy, $-\text{NO}_2$, $-\text{NH}_2$, $-\text{CN}$, or $-\text{CF}_3$; or

- ii) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to pyridine, pyrimidine, piperidine, piperazine, or morpholine, the six-membered heterocyclic ring
- 25 being optionally substituted by from 1 to 3 substituents selected from halogen, C_1-C_{10} alkyl, preferably C_1-C_6 alkyl, C_1-C_{10} alkoxy, preferably C_1-C_6 alkoxy, $-\text{CHO}$, $-\text{NO}_2$, $-\text{NH}_2$, $-\text{CN}$, $-\text{CF}_3$ or $-\text{OH}$; or

- iii) a bicyclic ring moiety containing from 8 to 10 ring atoms and
- 30 optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including, but not limited to benzofuran, indole, indoline, naphthalene, purine, or quinoline, the

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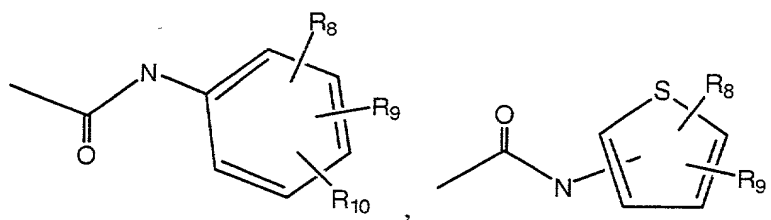
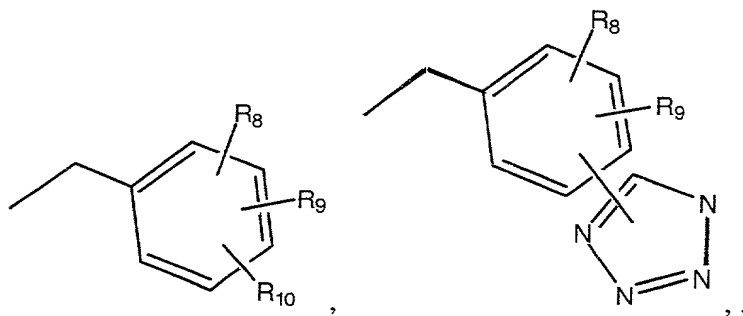
- 5 bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C_1 - C_{10} alkyl, preferably C_1 - C_6 alkyl, C_1 - C_{10} alkoxy, preferably C_1 - C_6 alkoxy, -CHO, -NO₂, -NH₂, -CN, -CF₃ or -OH;

n is an integer from 0 to 3;

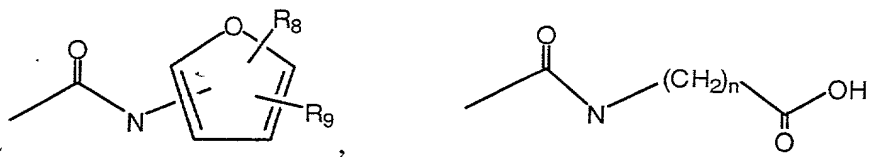
R₅ is selected from -COOH, -C(O)-COOH, -(CH₂)_n-C(O)-COOH, -(CH₂)_n-COOH, -

- 10 CH₂-phenyl-C(O)-benzothiazole,

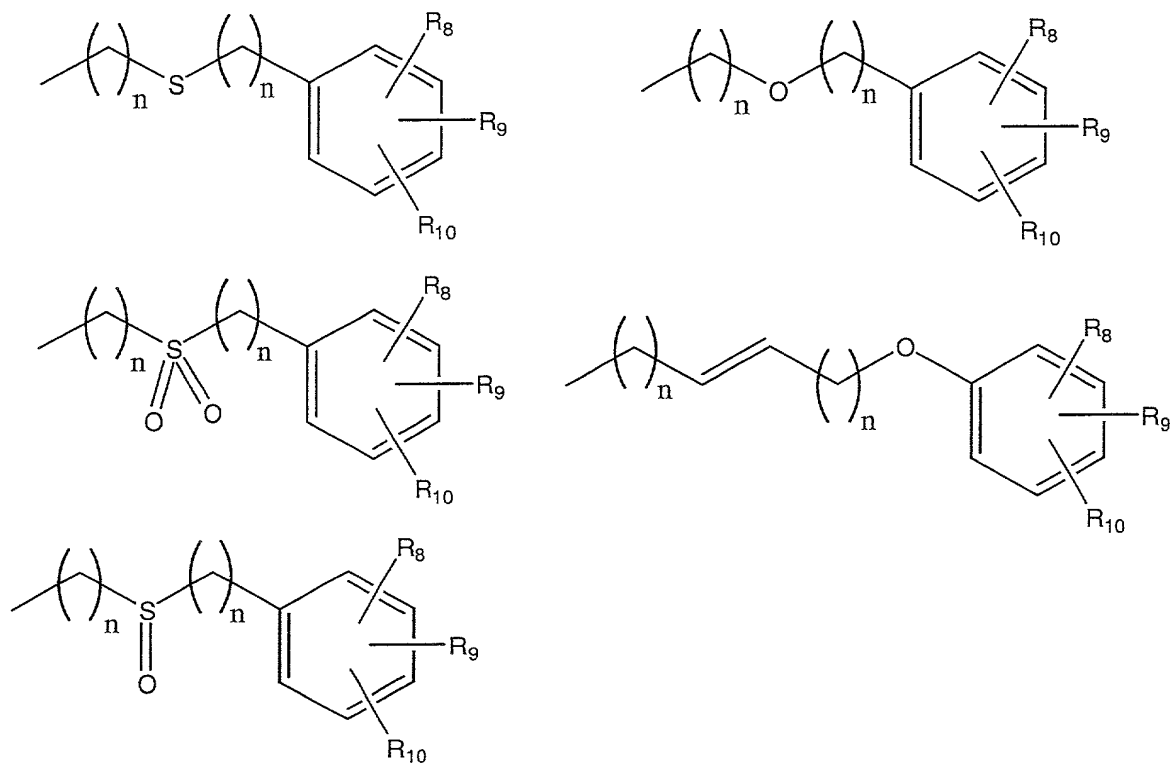
(CH₂)_n-CH=CH-COOH,



15



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5

n is an integer from 0 to 3;

R₈ is selected from H, -COOH, -(CH₂)_n-COOH, -(CH₂)_n-C(O)-COOH, tetrazole, -C(O)-NH₂, -(CH₂)_n-C(O)-NH₂;

10

n is an integer from 0 to 3;

R₉ is selected from H, halogen, -CF₃, -OH, -(CH₂)_n-COOH, -(CH₂)_n-C(O)-COOH, -C₁-C₆ alkyl, -O-C₁-C₆ alkyl, -NH(C₁-C₆ alkyl), -N(C₁-C₆

15 alkyl)₂;

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5 n is an integer from 0 to 3;

R_8 is selected from H, -COOH, $-(CH_2)_n-COOH$, $-(CH_2)_n-C(O)-COOH$, tetrazole, -
 $C(O)-NH_2$, $-(CH_2)_n-C(O)-NH_2$;

n is an integer from 0 to 3;

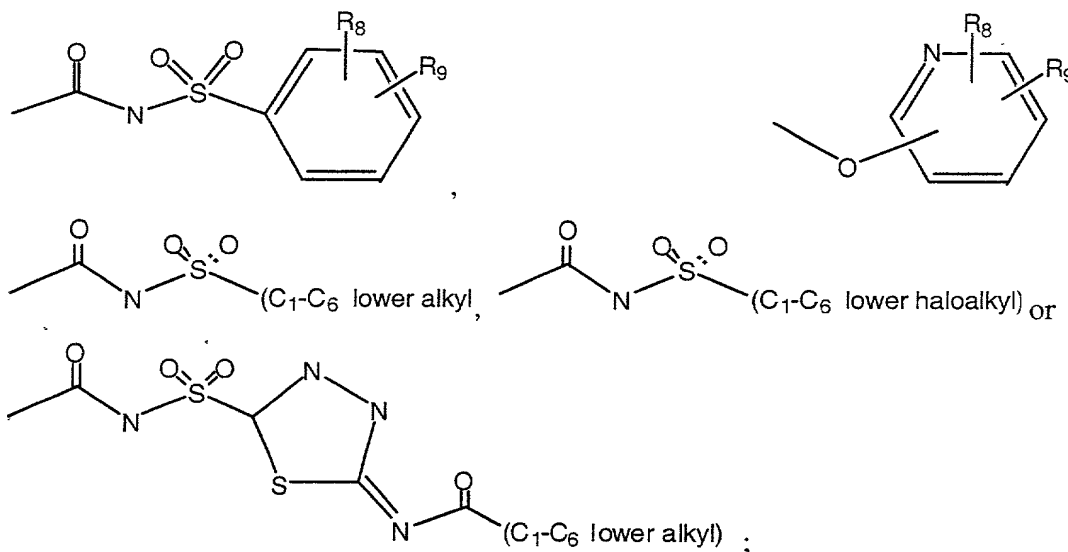
10

R_9 is selected from H, halogen, $-CF_3$, -OH, $-(CH_2)_n-COOH$,
 $-(CH_2)_n-C(O)-COOH$, $-C_1-C_6$ alkyl, $-O-C_1-C_6$ alkyl, $-NH(C_1-C_6$ alkyl), $-N(C_1-C_6$
alkyl)₂;

15 n is an integer from 0 to 3;

R_{10} is selected from the group of H, halogen, $-CF_3$, -OH, $-(CH_2)_n-COOH$,
 $-(CH_2)_n-C(O)-COOH$, $-C_1-C_6$ alkyl, $-O-C_1-C_6$ alkyl, $-NH(C_1-C_6$ alkyl), $-N(C_1-C_6$ alkyl)₂,

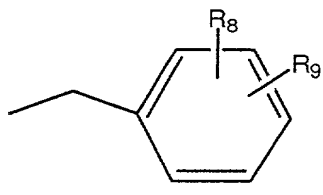
20



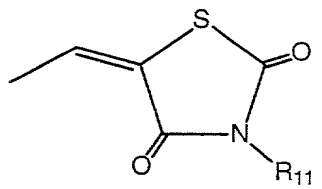
n is an integer from 0 to 3;

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- 5 R_{11} is selected from H, C_1-C_6 lower alkyl, $-CF_3$, $-COOH$, $-(CH_2)_n-COOH$, $-(CH_2)_n-C(O)-COOH$, or



- with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R_5 , R_8 , R_9 , R_{10} , and/or R_{11} shall contain at least
 10 one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: $-C(O)-NH_2$, $-(CH_2)_n-C(O)-NH_2$,



n is an integer from 0 to 3;
 or a pharmaceutically acceptable salt thereof.

- 15 10. A compound of Claim 1 which is 4-({3-chloro-5-[(cyclopentylcarbonyl)amino]-2-[(phenethylsulfanyl)methyl]-1H-indol-1-yl)methyl}benzoic acid or a pharmaceutically acceptable salt thereof.

- 20 11. A compound of Claim 1 which is 4-[(3-chloro-5-[(cyclopentylcarbonyl)amino]-2-[(2-furylmethyl)sulfanyl]methyl)-1H-indol-1-yl)methyl]benzoic acid or a pharmaceutically acceptable salt thereof.

- 25 12. A compound of Claim 1 which is 4-[(3-chloro-5-[(cyclopentylcarbonyl)amino]-2-[(4-hydroxy-6-phenyl-2-

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5 pyrimidinyl)sulfanyl)methyl]-1H-indol-1-yl)methyl]benzoic acid or a
pharmaceutically acceptable salt thereof.

13. A compound of Claim 1 which is 4-([3-chloro-5-
[(cyclopentylcarbonyl)amino]-2-([4-(2-thienyl)-2-pyrimidinyl)sulfanyl]methyl)-1H-
10 indol-1-yl)methyl]benzoic acid or a pharmaceutically acceptable salt thereof.

14. A compound of Claim 1 which is 4-([3-chloro-5-
[(cyclopentylcarbonyl)amino]-2-[(2,4-dibromophenoxy)methyl]-1H-indol-1-
yl)methyl]benzoic acid or a pharmaceutically acceptable salt thereof.

15 15. A compound of Claim 1 which is 4-([3-chloro-5-
[(cyclopentylcarbonyl)amino]-2-[(cyclopentylsulfanyl)methyl]-1H-indol-1-
yl)methyl]benzoic acid or a pharmaceutically acceptable salt thereof.

20 16. A compound of Claim 1 which is 4-([3-chloro-5-
[(cyclopentylcarbonyl)amino]-2-[(propylsulfanyl)methyl]-1H-indol-1-
yl)methyl]benzoic acid or a pharmaceutically acceptable salt thereof.

25 17. A compound of Claim 1 which is 4-([2-([4-(tert-
butyl)phenoxy]methyl)-3-chloro-5-[(cyclopentylcarbonyl)amino]-1H-indol-1-
yl)methyl]benzoic acid or a pharmaceutically acceptable salt thereof.

18. A compound of Claim 1 which is 4-([3-chloro-5-
[(cyclopentylcarbonyl)amino]-2-[(2-quinolinyl)sulfanyl)methyl]-1H-indol-1-
30 yl)methyl]benzoic acid or a pharmaceutically acceptable salt thereof.

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5 19. A compound of Claim 1 which is 4-[(3-chloro-5-
[(cyclopentylcarbonyl)amino]-2-[[cyclopropylmethyl)sulfanyl]methyl]-1H-indol-1-
yl)methyl]benzoic acid or a pharmaceutically acceptable salt thereof.

10 20. A compound of Claim 1 which is 4-({2-[(benzhydrylsulfanyl)methyl]-
3-chloro-5-[(cyclopentylcarbonyl)amino]-1H-indol-1-yl)methyl)benzoic acid or a
pharmaceutically acceptable salt thereof.

15 21. A compound of Claim 1 which is 4-({5-[(3-carboxypropanoyl)amino]-
3-chloro-2-[(phenethylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a
pharmaceutically acceptable salt thereof.

20 22. A compound of Claim 1 which is 4-[(5-[(3-carboxypropanoyl)amino]-
3-chloro-2-[[3-methylbenzyl)sulfanyl]methyl]-1H-indol-1-yl)methyl]benzoic acid
or a pharmaceutically acceptable salt thereof.

25 23. A compound of Claim 1 which is 4-({2-([4-(tert-
butyl)benzyl)sulfanyl)methyl]-5-[(3-carboxypropanoyl)amino]-3-chloro-1H-indol-1-
yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

30 24. A compound of Claim 1 which is 4-({3-chloro-5-(3-furoylamino)-2-
[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a
pharmaceutically acceptable salt thereof.

 25. A compound of Claim 1 which is 4-({5-(acetylamino)-3-chloro-2-[(2-
naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically
acceptable salt thereof.

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5

26. A compound of Claim 1 which is 4-({3-chloro-5-([3-(diethylamino)propanoyl]amino)-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

10

27. A compound of Claim 1 which is 4-({3-chloro-2-[(2-naphthylsulfanyl)methyl]-5-[(3-thienylcarbonyl)amino]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

15

28. A compound of Claim 1 which is 4-({5-[[(benzylamino)carbonyl]amino]-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

20

29. A compound of Claim 1 which is 4-({5-[[(butylamino)carbonyl]amino]-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

25

30. A compound of Claim 1 which is 3-[(1-(4-carboxybenzyl)-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-5-yl)amino)carbonyl]benzoic acid or a pharmaceutically acceptable salt thereof.

31. A compound of Claim 1 which is 4-([5-(benzyloxy)-2-[(E)-2-carboxyethenyl]-3-(2-naphthoyl)-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

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5 32. A compound of Claim 1 which is 4-({3-acetyl-5-(benzyloxy)-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl}benzoic acid or a pharmaceutically acceptable salt thereof.

10 33. A compound of Claim 1 which is 4-{{5-(benzyloxy)-2-[(2-naphthylsulfanyl) methyl]-3-(2,2,2-trifluoroacetyl)-1H-indol-1-yl)methyl}benzoic acid or a pharmaceutically acceptable salt thereof.

15 34. A compound of Claim 1 which is 4-({5-[(4-aminobutanoyl)amino]-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl}benzoic acid or a pharmaceutically acceptable salt thereof.

20 35. A compound of Claim 1 which is 4-({3-chloro-5-[(cyclopentylcarbonyl)amino]-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl}benzoic acid or a pharmaceutically acceptable salt thereof.

 36. A compound of Claim 1 which is 4-({3-chloro-2-[(2-naphthylsulfanyl)methyl]-5-[(2-quinoxaliny carbonyl)amino]-1H-indol-1-yl)methyl}benzoic acid or a pharmaceutically acceptable salt thereof.

25 37. A compound of Claim 1 which is 4-({3-chloro-5-[(2,2-dimethylpropanoyl)amino]-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl}benzoic acid or a pharmaceutically acceptable salt thereof.

30 38. A compound of Claim 1 which is 4-({5-[[{(benzyloxy)carbonyl]amino}-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl}benzoic acid or a pharmaceutically acceptable salt thereof.

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39. A compound of Claim 1 which is 4-({3-chloro-5-
{[(cyclopentyloxy)carbonyl] amino}-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-
yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

10

40. A compound of Claim 1 which is 4-({5-(acetylamino)-3-chloro-2-[(2-
naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically
acceptable salt thereof.

15

41. A compound of Claim 1 which is 4-({5-
{[(butylamino)carbonyl]amino}-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-
1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

20

42. A compound of Claim 1 which is 4-({5-
{[(butylamino)carbonyl]amino}-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-
1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

25

43. A compound of Claim 1 which is 4-({3-chloro-5-
[(morpholinocarbonyl)amino]-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-
yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

44. A compound of Claim 1 which is 4-({5-(benzylamino)-3-chloro-2-[(2-
naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically
acceptable salt thereof.

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5 45. A compound of Claim 1 which is 4-({3-chloro-2-[(2-naphthylsulfanyl)methyl]-5-[(3-phenoxybenzyl)amino]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

10 46. A compound of Claim 1 which is 4-({3-chloro-5-[(cyclopentylcarbonyl) (methyl)amino]-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

15 47. A compound of Claim 1 which is 4-({5-[acetyl(benzyl)amino]-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

20 48. A compound of Claim 1 which is 4-({3-chloro-2-[(2-naphthylsulfanyl)methyl]-5-[(tetrahydro-3-furanylcarbonyl)amino]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

25 49. A compound of Claim 1 which is 4-({3-chloro-2-[(2-naphthylsulfanyl)methyl]-5-[(3-thienylcarbonyl)amino]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

30 50. A compound of Claim 1 which is 4-({3-chloro-2-[(2-naphthylsulfanyl)methyl]-5-[(1-adamantylcarbonyl)amino]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

 51. A compound of Claim 1 which is 3-[(1-(4-carboxybenzyl)-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-5-yl)amino]carbonyl]benzoic acid or a pharmaceutically acceptable salt thereof.

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5

52. A compound of Claim 1 which is 4-({3-chloro-2-[(2-naphthylsulfanyl)methyl]-5-[(3-phenylpropanoyl)amino]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

10

53. A compound of Claim 1 which is 4-({5-amino-3-chloro-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl)methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

15

54. A compound of Claim 1 which is N-{3-chloro-1-(4-[(methylsulfonyl)amino] carbonyl)benzyl)-2-[(2-naphthylsulfanyl)methyl]-1H-indol-5-yl}cyclopentanecarboxamide or a pharmaceutically acceptable salt thereof.

20

55. A compound of Claim 1 which is N-{3-chloro-2-[(2-naphthylsulfanyl)methyl]-1-[4-({[(4-nitrophenyl)sulfonyl] amino}carbonyl)benzyl]-1H-indol-5-yl}cyclopentanecarboxamide or a pharmaceutically acceptable salt thereof.

25

56. A compound of Claim 1 which is N-{3-chloro-1-[4-({[(2-methylphenyl)sulfonyl]amino}carbonyl)benzyl]-2-[(2-naphthylsulfanyl)methyl]-1H-indol-5-yl}cyclopentanecarboxamide or a pharmaceutically acceptable salt thereof.

30

57. A compound of Claim 1 which is N-[3-chloro-2-[(2-naphthylsulfanyl)methyl]-1-(4-({[(phenylsulfonyl)amino] carbonyl)benzyl)-1H-indol-5-yl]cyclopentanecarboxamide or a pharmaceutically acceptable salt thereof.

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5 58. A compound of Claim 1 which is N-{3-chloro-2-[(2-naphthylsulfanyl)methyl]-1-[4-({[(trifluoromethyl)sulfonyl] amino}carbonyl)benzyl]-1H-indol-5-yl}cyclopentanecarboxamide or a pharmaceutically acceptable salt thereof.

10 59. A compound of Claim 1 which is 4-[5-[(cyclopentylcarbonyl)amino]-2-[(2-naphthyloxy)methyl]-3-(1-pyrrolidinylcarbonyl)-1H-indol-1-yl]butanoic acid or a pharmaceutically acceptable salt thereof.

15 60. A compound of Claim 1 which is 4-{5-[(cyclopentylcarbonyl)amino]-3-(morpholinocarbonyl)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}butanoic acid or a pharmaceutically acceptable salt thereof.

20 61. A compound of Claim 1 which is N-[2-[(2-naphthyloxy)methyl]-1-(4-oxo-4-{{[(trifluoromethyl)sulfonyl]amino}butyl)-3-(1-pyrrolidinylcarbonyl)-1H-indol-5-yl}cyclopentanecarboxamide or a pharmaceutically acceptable salt thereof.

25 62. A compound of Claim 1 which is N-[3-(morpholinocarbonyl)-2-[(2-naphthyloxy)methyl]-1-(4-oxo-4-{{[(trifluoro-methyl)sulfonyl]amino}butyl)-1H-indol-5-yl}cyclopentanecarboxamide or a pharmaceutically acceptable salt thereof.

 63. A compound of Claim 1 which is 5-[(cyclopentylcarbonyl)amino]-2-[(2-naphthyloxy)methyl]-1-(4-oxo-4-{{[(trifluoromethyl)sulfonyl]amino}butyl)-1H-indole-3-carboxylic acid or a pharmaceutically acceptable salt thereof.

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5 64. A compound of Claim 1 which is 2-(4-{{5-(benzyloxy)-3-(1-naphthoyl)-1H-indol-1-yl}methyl}phenyl)acetic acid or a pharmaceutically acceptable salt thereof.

10 65. A compound of Claim 1 which is 2-(4-{{5-(benzyloxy)-3-(2-naphthoyl)-1H-indol-1-yl}methyl}phenyl)acetic acid or a pharmaceutically acceptable salt thereof.

15 66. A compound of Claim 1 which is 2-[4-({5-(benzyloxy)-3-[3,5-bis(trifluoromethyl)benzoyl]-1H-indol-1-yl}methyl)phenyl]acetic acid or a pharmaceutically acceptable salt thereof.

20 67. A compound of Claim 1 which is 4-({3-benzoyl-5-(benzyloxy)-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl}methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

 68. A compound of Claim 1 which is 4-({5-(benzyloxy)-3-isobutyryl-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl}methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

25 69. A compound of Claim 1 which is 2-{3-acetyl-5-(benzyloxy)-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl}acetic acid or a pharmaceutically acceptable salt thereof.

30 70. A compound of Claim 1 which is 2-{5-(benzyloxy)-3-isobutyryl-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl}acetic acid or a pharmaceutically acceptable salt thereof.

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5

71. A compound of Claim 1 which is 4-{3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}butanoic acid or a pharmaceutically acceptable salt thereof.

10

72. A compound of Claim 1 which is 3-[(4-{3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}butanoyl)amino]benzoic acid or a pharmaceutically acceptable salt thereof.

15

73. A compound of Claim 1 which is 4-{3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}-N-[3
({[(trifluoromethyl)sulfonyl]amino}carbonyl)phenyl]butanamide or a pharmaceutically acceptable salt thereof.

20

74. A compound of Claim 1 which is 4-[(4-{3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}butanoyl)amino]benzoic acid or a pharmaceutically acceptable salt thereof.

25

75. A compound of Claim 1 which is 2-[(4-{3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}butanoyl)amino]benzoic acid or a pharmaceutically acceptable salt thereof.

30

76. A compound of Claim 1 which is 3-[(4-{3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}butanoyl)amino]propanoic acid or a pharmaceutically acceptable salt thereof.

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5 77. A compound of Claim 1 which is 3-[(4-{3-benzoyl-5-(benzyloxy)-2-
[(2-naphthyloxy)methyl]-1H-indol-1-yl}butanoyl)amino]propanoic acid or a
pharmaceutically acceptable salt thereof.

10 78. A compound of Claim 1 which is N-(4-{3-benzoyl-5-(benzyloxy)-2-
[(2-naphthyloxy)methyl]-1H-indol-1-yl}butanoyl)-2-methylbenzenesulfonamide or a
pharmaceutically acceptable salt thereof.

15 79. A compound of Claim 1 which is 5-{3-benzoyl-5-(benzyloxy)-2-[(2-
naphthyloxy)methyl]-1H-indol-1-yl}pentanoic acid or a pharmaceutically acceptable
salt thereof.

 80. A compound of Claim 1 which is 3-[(5-{3-benzoyl-5-(benzyloxy)-2-
[(2-naphthyloxy)methyl]-1H-indol-1-yl}pentanoyl)amino]benzoic acid or a
pharmaceutically acceptable salt thereof.

20 81. A compound of Claim 1 which is 5-{3-benzoyl-5-(benzyloxy)-2-[(2-
naphthyloxy)methyl]-1H-indol-1-yl}-N-[3({[(trifluoromethyl)sulfonyl]amino}
carbonyl)phenyl]pentanamide or a pharmaceutically acceptable salt thereof.

25 82. A compound of Claim 1 which is 2-{3-benzoyl-5-(benzyloxy)-2-[(2-
naphthyloxy)methyl]-1H-indol-1-yl}acetic acid or a pharmaceutically acceptable salt
thereof.

30 83. A compound of Claim 1 which is (E)-4-{3-benzoyl-5-(benzyloxy)-2-
[(2-naphthyloxy)methyl]-1H-indol-1-yl}-2-butenic acid or a pharmaceutically
acceptable salt thereof.

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5 84. A compound of Claim 1 which is 3-({3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}methyl)benzoic acid or a pharmaceutically acceptable salt thereof.

10 85. A compound of Claim 1 which is 1-{1-[4-(1,3-benzothiazol-2-ylcarbonyl)benzyl]-5-(benzylsulfanyl)-2-[(2-naphthylsulfanyl)methyl]-1H-indol-3-yl}-1-ethanone or a pharmaceutically acceptable salt thereof.

15 86. A compound of Claim 1 which is 1-{1-[3-(1,3-benzothiazol-2-ylcarbonyl)benzyl]-5-(benzylsulfanyl)-2-[(2-naphthylsulfanyl)methyl]-1H-indol-3-yl}-1-ethanone or a pharmaceutically acceptable salt thereof.

20 87. A compound of Claim 1 which is 2-[3-({3-acetyl-5-(benzyloxy)-2-[(2-naphthylsulfanyl)methyl]-1H-indol-1-yl}methyl)benzoyl]-1,3-benzothiazole-6-carboxylic acid or a pharmaceutically acceptable salt thereof.

 88. A compound of Claim 1 which is 5-{3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}-2-oxopentanoic acid or a pharmaceutically acceptable salt thereof.

25 89. A compound of Claim 1 which is 3-[(5-{3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}-2-oxopentanoyl)amino]benzoic acid or a pharmaceutically acceptable salt thereof.

30 90. A compound of Claim 1 which is 4-[(5-{3-benzoyl-5-(benzyloxy)-2-[(2-naphthyloxy)methyl]-1H-indol-1-yl}-2-oxopentanoyl)amino]benzoic acid or a pharmaceutically acceptable salt thereof.

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91. A compound of Claim 1 which is 3-({4-[5-
[(cyclopentylcarbonyl)amino]-2-[(2-naphthyloxy)methyl]-3-(1-pyrrolidinylcarbonyl)-
1H-indol-1-yl]butanoyl}amino)benzoic acid or a pharmaceutically acceptable salt
thereof.

10

92. A compound of Claim 1 which is 3-[(4-{5-
[(cyclopentylcarbonyl)amino]-3-(morpholinocarbonyl)-2-[(2-naphthyloxy)methyl]-
1H-indol-1-yl]butanoyl}amino)benzoic acid or a pharmaceutically acceptable salt
thereof.

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93. A compound of Claim 1 which is N-[2-[(2-naphthyloxy)methyl]-1-{4-
oxo-4-[3-({[(trifluoromethyl)sulfonyl]amino}carbonyl)anilino]butyl}-3-(1-
pyrrolidinylcarbonyl)-1H-indol-5-yl]cyclopentanecarboxamide or a pharmaceutically
acceptable salt thereof.

20

94. A compound of Claim 1 which is N-(3-(morpholinocarbonyl)-2-[(2-
naphthyloxy)methyl]-1-{4-oxo-4-[3-({[(trifluoromethyl)sulfonyl]amino}carbonyl)
anilino]butyl}-1H-indol-5-yl)cyclopentanecarboxamide or a pharmaceutically
acceptable salt thereof.

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95. A method of inhibiting the phospholipase activity of an enzyme in a
mammalian subject in need thereof comprising administering to said subject a
therapeutically effective amount of a pharmaceutical composition of claim 1.

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5 96. A method of treating an inflammatory response in a mammalian
subject in need thereof comprising administering to said subject a therapeutically
effective amount of a pharmaceutical composition of Claim 1.

10 97. A pharmaceutical composition comprising a pharmaceutically
effective amount of a compound of Claim 1, or a pharmaceutically acceptable salt
thereof, and a pharmaceutically acceptable carrier.

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